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                 will change in 2009 for STN-Columbus and STN-Tokyo
        JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
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                 Classification Data
NEWS 5 FEB 02 Simultaneous left and right truncation (SLART) added
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NEWS 6 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 7 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 8 FEB 10 COMPENDEX reloaded and enhanced
NEWS 9 FEB 11 WTEXTILES reloaded and enhanced
NEWS 10 FEB 19 New patent-examiner citations in 300,000 CA/CAplus
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NEWS 20 MAR 20 CAS databases on STN enhanced with new super role
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NEWS 21
        MAR 23 CA/CAplus enhanced with more than 250,000 patent
                 equivalents from China
NEWS 22 MAR 30 IMSPATENTS reloaded and enhanced
NEWS 23 APR 03 CAS coverage of exemplified prophetic substances
                 enhanced
NEWS 24 APR 07 STN is raising the limits on saved answers
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
            AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
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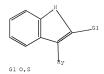
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chain nodes :
10 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
8-13 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 7-8 8-13 9-10
exact bonds :
6-9 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :
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G1:0,S

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Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 13:CLASS
Generic attributes:
10:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
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L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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FILE 'CAPLUS' ENTERED AT 09:09:51 ON 14 APR 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 14 Apr 2009 VOL 150 ISS 16 FILE LAST UPDATED: 13 Apr 2009 (20090413/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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100.0% PROCESSED 85815 ITERATIONS SEARCH TIME: 00.00.04

332 ANSWERS

1.2 332 SEA SSS FUL L1

T. 3 37 T.2

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YOU HAVE REQUESTED DATA FROM 37 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN 2009:140234 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 150:199381

TITLE: A new combination of (a) an

> $\alpha-4-\beta-2$ -neuronal nicotinic agonist and (b) a glycogen synthase kinase 3 (GSK3) inhibitor

INVENTOR(S): Basun, Hans; Cox, Graham; Nordgren, Ingrid; Bencherif,

Meronane

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Targacept, Inc.

SOURCE: PCT Int. Appl., 48pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | ENT 1 | | | | KIN | D | DATE | | 1 | APPL | ICAT | ION I | NO. | | D | ATE | |
|------|-------|-------|------|-----|-----|-----|------|------|-----|------|------|-------|------|-----|-----|------|-----|
| wo a | 2009 | 0174 | 55 | | A1 | | 2009 | 0205 | 1 | WO 2 | 008- | SE50: | 898 | | 2 | 0080 | 729 |
| | W: | ΑE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
| | | CA, | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, |
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| | | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
| | | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | ST, | SV, | SY, | ΤJ, |
| | | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HR, | HU, |
| | | IE, | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | NO, | PL, | PT, | RO, | SE, | SI, | SK, |
| | | TR, | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, |
| | | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, |
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US 2007-952690P PRIORITY APPLN. INFO.:

The present invention related to a combination of (a) a $\alpha 4\beta 2$ -neuronal AB nicotinic agonist and (b) a GSK3 inhibitor. The invention further relates to pharmaceutical compns. comprising said combination and to methods of treating CNS disorders in mammals by administrating said combination. The invention further relates to a kit comprising the combination and use of said kits in treatment of CNS disorders such as dementia and/or Alzheimer's Disease.

612487-70-4 612487-72-6.

2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5carbonitrile 61248/-75-9.

2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5carbonitrile 612487-82-8,

2-Hvdroxv-3-[5-(pvrrolidin-1-vlmethv1)pvridin-2-v1]-1H-indole-5-

carbonitrile 612487-90-3,

2-Hydroxy-3-[5-[(4-phenylpiperazin-1-y1)methy1]pyridin-2-y1]-1H-indole-5-carbonitrile 612487-99-7 612483-07-0,

2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-6-

carbonitrile 612488-33-2 612488-52-5,

3-[5-(Morpholin-4-ylmethyl)pyridin-2-yl]-5-nitro-1H-indol-2-ol

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(combination of an α -4- β -2-neuronal nicotinic agonist and a glycogen synthase kinase 3 (GSK3) inhibitor for dementia therapy)

RN 612487-70-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1piperazinyl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

RN 612487-75-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]2-pyridinyl]- (CA INDEX NAME)

RN 612487-82-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2pyridinyl]- (CA INDEX NAME)

- RN 612487-90-8 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-phenyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-99-7 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 612488-07-0 CAPLUS
- CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 612488-33-2 CAPLUS
- CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl](4-methyl-1piperazinyl)- (CA INDEX NAME)

RN 612488-52-5 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro- (CA INDEX NAME)

RN 698345-96-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 733737-00-3 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:139734 CAPLUS Full-text

DOCUMENT NUMBER: 150:199277
TITLE: New crystalline forms of

2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-

indole-5-carbonitrile citrate for use to treat GSK3

related conditions and disorders
INVENTOR(S): Erikson, Anders; Profir, Veronica; Sebi

INVENTOR(S): Erikson, Anders; Profir, Veronica; Sebhatu, Tesfai;
Tjerneld, Erica

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 31pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT | PATENT NO. | | | | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
|---------|------------|-----|-----|-----|-----|------|------|-----|------|------|------|-----|-----|-----|------|-----|
| WO 2009 | 0174 | 52 | | A1 | - | 2009 | 0205 | | WO 2 | | SE50 | 895 | | 2 | 0080 | 729 |
| W: | ΑE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
| | CA, | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, |
| | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, |
| | KG, | KM, | KN, | KP, | KR, | ΚZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, |
| | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
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| | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | zw | | |
| RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HR, | HU, |
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| | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, |
| | AM, | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ΤJ, | TM | | | | | | | |

PRIORITY APPLN. INFO.: US 2007-952634P P 20070730

The present invention relates to new crystalline forms of 2-hydroxy-3-[5-(morpholin-4-y)lmthyl)pyridin-2-yl]l H-indole-5-carbonitrile citrate, a Form D, and a Form E, resp., a process for their prepns., pharmaceutical formulations containing said compds. and to the use of said active compds in therapy, and particularly to GSK3 related conditions and disorders. Thus, to 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5- carbonitrile citrate (4 g, 7.6 mmol) was added water (40 mL) and the slurry heated to 85° until all was dissolved; then the solution was cooled to 45° over 30 min, followed by further cooling down to 5° over 20 h; the crystals were filtered and washed with ethanol; drying in a vacuum at 50° gave 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5- carbonitrile citrate (3.22 g, 818 yield) with a purity of 98.9%

IT 945633-71-6, 2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1Hindole-5-carbonitrile citrate 1110652-72-6

RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (crystalline forms of 2-hydroxy-3-15-(morpholin-4-ylmethyl)pyridin-2-yl]l

RN 945633-71-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CRN 77-92-9 CMF C6 H8 O7

RN 1110652-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate, hydrate (1:1:1) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

L3 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN 2009:139703 CAPLUS Full-text

150:222265

New therapeutic combination of an antipsychotic and a glycogen synthase kinase 3 (GSK3) inhibitor 958 Basun, Hans; Cox, Graham; Nordgren, Ingrid Astrazeneca AB, Swed.

PCT Int. Appl., 54pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

Patent

PATENT INFORMATION:

| PA: | TENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
|-----|------|-----|-----|-----|-----|-----|------|------|-----|------|------|-----|-----|-----|-----|------|-----|
| | 2009 | | | | A1 | - | 2009 | 0205 | | WO 2 | | | 896 | | 2 | 0080 | |
| | W: | ΑE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
| | | CA, | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DO, | DZ, | EC, | EE, | EG, | ES, |
| | | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, |
| | | KG, | KM, | KN, | KP, | KR, | ΚZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, |
| | | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
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| | | AM. | AZ. | BY. | KG. | KZ. | MD. | RU. | TJ. | TM | | | | | | | |

PRIORITY APPLN. INFO.:

US 2007-952641P P 20070730

The present invention relates to a combination of (a) an antipsychotic and (b) a GSK3 inhibitor. The invention further relates to pharmaceutical compns. comprising said combination and to methods of treating psychiatric disorders; particularly, cognitive impairment disorders in psychotic disorders in mammals by administrating said combination. The invention further relates to a kit comprising the combination and use of said kit in treatment of psychiatric disorders; particularly, cognitive impairment disorders in psychotic disorders.

IT 612487-70-4 612487-72-6,

2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5-carbonitrile 612487-75-9,

2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)methyl]pyridin-2-y1]-1H-indole-5-carbonitrile 612487-82-8,

2-Hydroxy-3-[5-(pyrrolidin-1-ylmethyl)pyridin-2-yl]-1H-indole-5-

carbonitrile 612487-90-8,

2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-6-carbonitrile 612488-33-2 612488-52-5,

3-[5-(Morpholin-4-ylmethyl)pyridin-2-yl]-5-nitro-1H-indol-2-ol

698345-96-9, 2-Hydroxy-3-[5-(4-methylpiperazin-1-

yl)sulfonylpyridin-2-yl]-1H-indole-5-carbonitrile 733737-00-3

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (USes)

(therapeutic combination of an antipsychotic and a glycogen synthase kinase 3 (GSK3) inhibitor 958)

RN 612487-70-4 CAPLUS

CN

1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-72-6 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

- RN 612487-75-9 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-82-8 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-90-8 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-phenyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-99-7 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2pyridinyl]- (CA INDEX NAME)

RN 612488-07-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

RN 612488-33-2 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-y1)-3-pyridinyl](4-methyl-1piperazinyl)- (CA INDEX NAME)

RN 612488-52-5 CAPLUS

CN 1H-Indol-2-o1, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro- (CA INDEX NAME)

RN 698345-96-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 733737-00-3 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:138859 CAPLUS Full-text

DOCUMENT NUMBER: 2009:138839 CAFEOS

DOCUMENT NUMBER: 150:222260

TITLE: New therapeutic combination of a glycogen synthase kinase-3 (GSK3) inhibitor and an α^7 -nicotinic

agonist

INVENTOR(S): Basun, Hans; Cox, Graham; Nordgren, Ingrid

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 59pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| _ | | 010 | | | | | | | | | | | | | | | | |
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| | PA: | ENT : | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D | ATE | |
| | WO | 2009 | 0174 | | | A1 | - | 2009 | 0205 | | WO 2 |
008- | SE50 | 897 | | 2 | 0080 | 729 |
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| | | | FI, | GB, | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, |
| | | | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, |
| | | | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
| | | | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | ST, | SV, | SY, | TJ, |
| | | | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | |
| | | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HR, | HU, |
| | | | ΙE, | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | NO, | PL, | PT, | RO, | SE, | SI, | SK, |
| | | | TR, | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, |
| | | | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, |
| | | | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | |
| | | | | | | | | | | | | | | | | | | |

PRIORITY APPLN. INFO.: US 2007-952651P P 20070730

The present invention related to a combination of (a) a GSK3 inhibitor and (b) an α^{7-} nicotinic agonist. The invention further relates to pharmaceutical compns. comprising said combination and to methods of treating CNS disorders in mammals by administrating said combination. The invention further relates to a kit comprising the combination and use of said kits in treatment of CNS disorders such as dementia and/or Alzheimer's Disease.

IT 612487-70-4 612487-72-6 612487-82-8 612487-90-8 612487-99-7 612488-07-0

612488-33-2 512488-52-5 698345-96-9

733737-00-

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(combination of a glycogen synthase kinase-3 (GSK3) inhibitor and an α 7-nicotinic agonist for dementia therapy)

RN 612487-70-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

RN 612487-82-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612487-90-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-phenyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-99-7 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2pyridinyl]- (CA INDEX NAME)

- RN 612488-07-0 CAPLUS
- CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

- RN 612488-33-2 CAPLUS
- CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

- RN 612488-52-5 CAPLUS
- CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro- (CA INDEX NAME)

- RN 698345-96-9 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 733737-00-3 CAPLUS

CN

1H-Indole-6-carbonitrile, 2-hvdroxv-3-[5-[(4-methvl-1piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1300811 CAPLUS Full-text

DOCUMENT NUMBER: 149:513869

TITLE: Process for preparation of

2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-

indole-5-carbonitrile

INVENTOR(S): Delisser, Vern; Hedberg, Martin; Jansson, Annette; Raadevik, Andreas; Ryberg, Per; Thiering, Swantje PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

PCT Int. Appl., 54pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent. LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PATENT 1 | 10. | | | KIN | D | DATE | | 1 | APPL | ICAT: | ION | NO. | | D | ATE | |
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| WO 20083 | 1303 | 12 | | A1 | | 2008 | 1030 | 1 | WO 2 | 008- | SE50 | 432 | | 2 | 0080 | 417 |
| W: | ΑE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
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| | KG, | KM, | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, |
| | ME, | MG, | MK, | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, |
| | PL, | PT, | RO, | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, |
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| RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HR, | HU, |
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| | TG, | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, |
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| RITY APPI | JN. | INFO | . : | | | | | 1 | JS 2 | 007- | 9125 | 27P | 1 | P 2 | 0070 | 418 |

OTHER SOURCE(S): CASREACT 149:513869; MARPAT 149:513869

- AB The present invention pertains to a process for the preparation of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-H-indole-5- carbonitrile as a free base and pharmaceutically acceptable salts thereof, particularly the citrate salt. For example, Et 2-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]acetate was reacted with 3-fluoro-4-nitrobenzonitrile in THF at -20 °C in presence of lithium tert-butoxide to afford an intermediate, which was treated with Degussa heterogeneous catalyst (platinum and vanadium on active carbon) under hydrogen for selective reduction of nitro group to amino group. The reduction product obtained above was treated with citric acid monohydrate at 60-75 °C for 2 h in Bu acetate, DMF, and iso-propanol, cooled to 5 °C over 10 h, and held overnight at 5 °C to gave 75 % yield of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-H-indole-5- carbonitrile citrate as an orange solid. Advantageously, the new process is suitable for large scale industrial manufacturing

5-carbonitrile)

- RN 1073614-10-4 CAPLUS
- CN 1H-Indole-5-carbonitrile, 1,2-dihydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 1073614-11-5 CAPLUS

- IT 612487-71-5P 612487-72-6P 945467-87-8P
 - RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-

5-carbonitrile)

- RN 612487-71-5 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

RN 945467-87-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

CME CO NO O7

L3 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:1210838 CAPLUS Full-text

DOCUMENT NUMBER: 149:448395

TITLE: 3-Imidazolylindoles for treatment of proliferative

diseases and their preparation

Boettcher, Andreas; Buschmann, Nicole; Furet, Pascal; INVENTOR(S): Groell, Jean-Marc; Kallen, Joerg; Hergovich Lisztwan, Joanna; Masuya, Keiichi; Mayr, Lorenz; Vaupel, Andrea

PATENT ASSIGNEE(S): Novartis A.-G., Switz.

SOURCE: PCT Int. Appl., 260pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| ENT I | .00 | | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
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| 20083 | 1197 | 41 | | A2 | | 2008 | 1009 | | WO 2 | 008- | EP53 | 667 | | 2 | 0080 | 327 |
| 20083 | 1197 | 41 | | A3 | | 2008 | 1204 | | | | | | | | | |
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2008119741 A3 20081204
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TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
IE, IS, IT, LT, LU, LV, MC, MT, ML, NO, PL, PT, RO, SE, SI,
TR, BF, BJ, CF, CG, CI, CM, GA, SN, GQ, GW, ML, MR, NE, SN,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, |

PRIORITY APPLN. INFO.: EP 2007-105269 A 20070329

GI

AB

OTHER SOURCE(S): MARPAT 149:448395

$$R^4$$
 R^1
 R^2
 R^2
 R^3
 R^2
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3

The invention relates to 3-heterocyclyl indolyl compds. of formula I, which are capable of inhibiting the interaction between p53, or variants thereof, and MDM2 and/or MDM4, or variants thereof, resp. Due to their activity, the compds. are useful in the treatment of various disorders and diseases mediated by the activity of MDM2 and/or MDM4, or variants thereof. Compds. of formula I wherein R1 and R2 are independently (un)substituted alkyn, (un)substituted alkyn, (un)substituted alkyn), (un)substituted alkyn, (un)substitute

invention compds, were evaluated for their MDM2 and MDM4 inhibitory activity

(some data given). T 1067655-33-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazolylindoles as MDM2 and MDM4 inhibitors useful in the treatment of proliferative diseases) $\,$

RN 1067655-33-7 CAPLUS CN 1H-Indole-2-sulfonam

L3 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2008:588455 CAPLUS Full-text

DOCUMENT NUMBER: 149:11953

TITLE: Development of a Mild and Robust Method for

Large-Scale Palladium-Catalysed Cyanation of Aryl Bromides: Importance of the Order of Addition

AUTHOR(S): Ryberg, Per

CORPORATE SOURCE: Process Chemistry, AstraZeneca PR & D Sodertalje,

Soedertaelje, S-151 85, Swed.

Organic Process Research & Development (2008), 12(3),

540-543

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

SOURCE:

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:11953

3 A mild and robust method for the large-scale palladium-catalyzed cyanation of aryl bromides has been developed. The reaction is sensitive to cyanide poisoning of the catalyst, and it was found that the order of adding the reagents had a strong impact on the performance of the reaction. Addition of the cyanide source to a preheated mixture of the other reagents was critical for achieving a robust and scaleable process. This improved protocol allowed the reaction to be run to full conversion within 3 h at 50 $^{\circ}\text{C}$ on a 6.7 kg scale. Furthermore, it led to the identification of several new efficient catalysts for the reaction.

IT 512487-72-6P

RL: IMF (Industrial manufacture); PREP (Preparation)
(effect of order of addition on large-scale palladium-catalyzed cyanation
of aryl bromides)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pvridinyl]- (CA INDEX NAME)

IT 612488-09-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(effect of order of addition on large-scale palladium-catalyzed cyanation
 of aryl bromides)

RN 612488-09-2 CAPLUS

CN 1H-Indol-2-ol, 5-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:1204238 CAPLUS Full-text

DOCUMENT NUMBER: 147:469377

TITLE: Preparation of substituted oxindole derivatives for

treating GSK3-related disorders

INVENTOR(S): Arzel, Erwan; Delisser, Vern; Iverson, Suzanne;

Ryberg, Per; Raadevik, Andreas

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 45pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | Di | ATE | |
|--------|-------|-----|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-----|
| | | | | | _ | | | | | | | | | | | |
| WO 200 | 71201 | 02 | | A1 | | 2007 | 1025 | | WO 2 | 007- | SE36 | 6 | | 20 | 0070 | 418 |
| w. | AE. | AG. | AL. | AM. | AT. | AII. | AZ. | BA. | BB. | BG. | BH. | BR. | BW. | BY. | BZ. | CA. |

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CH, CN, CO, CR, CU, CZ, DB, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, III, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, HN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, JT, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, 1S, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

APPLN. INFO::

US 2006-793336P P 20060419
```

PRIORITY APPLN. INFO.: US 2006-793336P OTHER SOURCE(S): CASREACT 147:469377; MARPAT 147:469377 GI

AB The present invention relates to new compds. of formula I (wherein Rl is H too OH, Q is N on N+O- with the proviso that when Rl is H then Q is N+O- and when Rl is OH then Q is N+O and when Rl is OH then Q is N+O and when Rl is OH then Q is N+O and when Rl is OH then Q is N+O and the resentation of the pure and isolated form, pharmaceutical formulations containing said compds., to the use of said active compds. in therapy, and particularly to GSK3 related disorders, and processes for their prepns. as well as new intermediates. Example compound I (R1-OH, Q-N) was prepared by cyclization of Et 2-(5-cyano-2-nitrophenyl)-2-hydroxy-2-[5-(morpholin-4-ylmethyl)pyridin-2-yllacetate (preparation given). In a GSK38 scintillation proximity assay the Ki values for the compds. of formula I are in the range of 0.001 mM to 10 MM.

T 922723-36-39, 2-Hydroxy-3-[5-[(4-oxidomorpholin-4-y1)methol-2-y1]-lR-indole-5-carbonitrile RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES

(drug candidate; preparation of substituted oxindole derivs. for treating GSK3-related disorders)

RN 952723-36-3 CAPLUS

N 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-oxido-4-morpholiny1)methy1]-2pyridiny1]- (CA INDEX NAME)

IT 612487-72-6, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-

1H-indole-5-carbonitrile

RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(preparation of substituted oxindole derivs. for treating GSK3-related disorders)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:999184 CAPLUS Full-text

DOCUMENT NUMBER:

TITLE:

147:330449 New salts of an indole derivative and their

pharmaceutical uses

INVENTOR(S): Berg, Anna-Lena; Bhat, Ratan; Sebhatu, Tesfai;

Staahle, Erica

PATENT ASSIGNEE(S): Astrazeneca A/B, Swed. SOURCE: PCT Int. Appl., 37pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| | PA: | ENT: | | | | KIN | D | DATE | | | | | | NO. | | D | ATE | |
|-------|-----|------|------|------|-----|-----|-----|------|------|-----|------|------|------|------|-----|-----|------|-----|
| | wo | 2007 | | | | A1 | _ | 2007 | 0907 | | | | | | | 2 | 0070 | 131 |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, |
| | | | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, |
| | | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, |
| | | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, | TR, | TT, |
| | | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | |
| | | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| | ΕP | 1991 | 539 | | | A1 | | 2008 | 1119 | | EP 2 | 007- | 7093 | 05 | | 2 | 0070 | 131 |
| | | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | IE, |
| | | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | |
| | IN | 2008 | DN06 | 433 | | A | | 2008 | 1024 | | IN 2 | 008- | DN64 | 33 | | 2 | 0080 | 723 |
| | CN | 1013 | 8962 | 3 | | A | | 2009 | 0318 | | CN 2 | 007- | 8000 | 6973 | | 2 | 0080 | 827 |
| PRIOR | ITY | APP | LN. | INFO | . : | | | | | | US 2 | 006- | 7773 | 48P | 1 | P 2 | 0060 | 228 |
| | | | | | | | | | | | WO 2 | 007- | SE89 | | 1 | W 2 | 0070 | 131 |

- AB The present invention relates to new salts of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-lH-indole-5- carbonitrile (I), processes for their preparation, pharmaceutical formulations containing the salts and to the use of the active salts in therapy, and particularly to GSK3 related disorders. I was suspended in EtOH and fumaric acid, and then the solution was heated to 40° to give the fumarate salt.
- IT 945467-98-9P 545467-83-0P 945467-90-3P 945467-93-6P RD: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(salts of indole derivative and their pharmaceutical uses)

RN 945467-88-9 CAPLUS

2N 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM :

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 945467-89-0 CAPLUS

Ethanesulfonic acid, compd. with 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-1H-indole-5-carbonitrile (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CRN 594-45-6 CMF C2 H6 O3 S

RN 945467-90-3 CAPLUS

CN 1,2-Ethanedisulfonic acid, compd. with

2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-1H-indole-5-carbonitrile (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6

CMF C19 H18 N4 O2

CM 2

CRN 110-04-3 CMF C2 H6 O6 S2

H038-CH2-CH2-S03H

RN 945467-91-4 CAPLUS

1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, phosphate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6

CMF C19 H18 N4 O2

CRN 7664-38-2

CMF H3 O4 P

- RN 945467-92-5 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6

CMF C19 H18 N4 O2

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

- RN 945467-93-6 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, (2Z)-2-butenedioate (1:?) (CA INDEX NAME)

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

TT 612487-72-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(salts of indole derivative and their pharmaceutical uses)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:873822 CAPLUS Full-text

DOCUMENT NUMBER: 147:243348

TITLE: Pharmaceutical use of

2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1H-

indole-5-carbonitrile as a free base or salts

INVENTOR(S): Berg, Anna-Lena; Bhat, Ratan PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| | ATENT | | | | KIN | _ | DATE | | | APPL | | | | | | ATE | |
|---------|--------|------|------|-----|-----|-----|------|------|-----|------|------|------|------|-----|-----|------|-----|
| | 2007 | | | | | | | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, |
| | | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, |
| | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, |
| | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, | TR, | TT, |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| E | 1981 | 500 | | | A1 | | 2008 | 1022 | | EP 2 | 007- | 7093 | 03 | | 2 | 0070 | 131 |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | |
| Cì | 1 1013 | 7875 | 4 | | A | | 2009 | 0304 | | CN 2 | 007- | 8000 | 4363 | | 2 | 0080 | 801 |
| PRIORIT | TY APP | LN. | INFO | . : | | | | | | US 2 | 006- | 7645 | 51P | 1 | P 2 | 0060 | 202 |
| | | | | | | | | | | US 2 | 006- | 7773 | 48P | 1 | P 2 | 0060 | 228 |
| | | | | | | | | | | WO 2 | 007- | SE87 | | 1 | W 2 | 0070 | 131 |

- AB The present invention relates to a new use of 2-hydroxy-3-[5-(morpholin-4ylmethyl)pyridin-2-yl]HR-indole-5-carbonitrile as a free base or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for the prevention and/or treatment of bone-related disorders, osteoporosis and increasing bone formation and bone mineral d. The present invention further relates to a method of prevention and/or treatment of these disorders or conditions.
- IIT 612487-72-6, 2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1Hindole-5-carbonitrile
 - RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
 - (therapeutic use of 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2vl]1H-indole-5-carbonitrile as a free base or salts)
- RN 612487-72-6 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

IT 612487-72-6DP, salts 945467-87-8P 945467-88-9P

945467-89-0P 945467-90-3P 945467-91-4P

945467-92-5P 945467-93-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USES)

(therapeutic use of 2-hydroxy-3-[5-(morpholin-4-ylmethy1)pyridin-2-y1]1H-indole-5-carbonitrile as a free base or salts)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

RN 945467-87-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

RN 945467-88-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, methanesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6

CMF C19 H18 N4 O2

CRN 75-75-2 CMF C H4 O3 S

945467-89-0 CAPLUS RN

CN Ethanesulfonic acid, compd. with 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-1H-indole-5-carbonitrile (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6

CMF C19 H18 N4 O2

CM 2

CRN 594-45-6

CMF C2 H6 O3 S

RN 945467-90-3 CAPLUS CN $1,2-{\tt Ethanedisulfonic}$ acid, compd. with 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-1H-indole-5-carbonitrile (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6

CMF C19 H18 N4 O2

CM 2

CRN 110-04-3 CMF C2 H6 O6 S2

HO35-CH2-CH2-SO3H

RN 945467-91-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, phosphate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6

CMF C19 H18 N4 O2

CM 2

CRN 7664-38-2

CMF H3 O4 P

945467-92-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

945467-93-6 CAPLUS RN

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, (2Z)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6

CMF C19 H18 N4 O2

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:873770 CAPLUS Full-text

DOCUMENT NUMBER:

R: 147:243347

TITLE: Citrate salt of an indole derivative and its

pharmaceutical use

INVENTOR(S):
Berg, Anna-Lena; Bhat, Ratan; Sebhatu, Tesfai;

Staahle, Erica
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 32pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PA | TENT | NO. | | | KIN | D | DATE | | | | LICAT | | | | D. | ATE | |
|-------|-------|------|------|-----|-----|-----|------|------|-----|----|-------|------|-----|-----|-----|------|-----|
| WO | 2007 | 0891 | 91 | | A1 | | 2007 | 0809 | | WO | 2007- | SE86 | | | 2 | 0070 | 131 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB | , BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ | , EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL | , IN, | IS, | JP, | KE, | KG, | KM, | KN, |
| | | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT | , LU, | LV, | LY, | MA, | MD, | MG, | MK, |
| | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO | , NZ, | OM, | PG, | PH, | PL, | PT, | RO, |
| | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM | , sv, | SY, | TJ, | TM, | TN, | TR, | TT, |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM | , ZW | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE | , ES, | FI, | FR, | GB, | GR, | HU, | ΙE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT | , RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML | , MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KΕ, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ | , TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | KG, | ΚZ, | MD, | RU, | ΤJ, | TM | | | | | | | | | | |
| | | | | | | | | | | | 2007- | | | | | | |
| | | | | | | | | | | | 2007- | | | | | | |
| EP | 1981 | 869 | | | A1 | | 2008 | 1022 | | EΡ | 2007- | 7093 | 02 | | 2 | 0070 | 131 |
| | R: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE | , ES, | FI, | FR, | GB, | GR, | HU, | ΙE, |
| | | | | | | LU, | LV, | MC, | NL, | PL | , PT, | RO, | SE, | SI, | SK, | TR, | AL, |
| | | | | MK, | | | | | | | | | | | | | |
| | 2007 | | | | | | | | | | 2007- | | | | | | |
| | 2008 | | | | | | 2008 | | | | 2008- | | 42 | | | 0080 | |
| | 2008 | | | | | | 2008 | | | | 2008- | | | | | 0080 | |
| | 1013 | | | | | | 2009 | | | | 2007- | | | | | 0080 | |
| | 2008 | | | | | | 2008 | | | | 2008- | | | | | 0080 | |
| | 2008 | | | | A | | 2008 | | | | 2008- | | | | | 0080 | |
| | 2009 | | | | A1 | | 2009 | 0122 | | | 2008- | | | | | 0081 | |
| DRIT: | Y APP | LN. | INFO | . : | | | | | | | 2006- | | | | | | |
| | | | | | | | | | | WO | 2007- | SE86 | | 1 | W 2 | 0070 | 131 |

AB The present invention relates to a new pharmaceutically acceptable salt, the 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]1 H-indole-5-carbonitrile citrate, a process for its preparation, pharmaceutical formulations containing

said salt and to the use of said active salt in therapy, and particularly to ${\tt GSK3}$ related conditions and disorders.

IT 945467-87-8P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (citrate salt of an indole derivative and its pharmaceutical use)

RN 945467-87-8 CAPLUS

CN 1H-Indoie-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

CM 1

CRN 612487-72-6 CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

II 612487-72-6, 2-Hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1Hindole-5-carbonitrile

RL: RCT (Reactant); RACT (Reactant or reagent)

(citrate salt of an indole derivative and its pharmaceutical use)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

L3 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:873564 CAPLUS Full-text

DOCUMENT NUMBER: 147:257783

TITLE: Process for preparing

2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-carbonitrile and its salts using new

intermediates and palladium cyanation catalysts Erbeck, Silke; Hedberg, Martin; Nussbaumer, Thomas; INVENTOR(S):

Ryberg, Per: Zistler, Andrea

Astrazeneca AB, Swed. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 47pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE . English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PA? | ENT : | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION : | NO. | | D. | ATE | |
|-----|-------|------|-----|-----|-----|-----|------|------|-----|------|------|-------|-----|-----|-----|------|-----|
| | | | | | | - | | | | | | | | | - | | |
| WO | 2007 | 0891 | 93 | | A1 | | 2007 | 0809 | | WO 2 | 007- | SE88 | | | 2 | 0070 | 131 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, |
| | | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, |
| | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, |
| | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, | TR, | TT, |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |

PRIORITY APPLN. INFO.: US 2006-764542P P 20060202

OTHER SOURCE(S): CASREACT 147:257783; MARPAT 147:257783

AB The invention relates to a new process for the manufacture of the compound 2hydroxy-3-[5-[(morpholin-4-y1)methy1]pyridin-2-y1]-1H-indole-5- carbonitrile (I) and its pharmaceutically acceptable salts thereof, particularly the 2hydroxy-3-[5- (morpholin-4-ylmethyl)pyridin-2-yl]lH- indole-5-carbonitrile citrate, which are useful for the treatment of cognitive disorders, Alzheimer disease, dementia, chronic and acute neurodegenerative diseases, bipolar disorders, schizophrenia, diabetes, hair loss etc., via new intermediates and use of palladium catalysts in the cyanation step. Specifically, the method involves condensation of 5-halooxindole with (6-halo-pyridin-3-yl) (morpholin-4-yl)methanone (halo independently = Cl, Br or I) to generate new intermediates [6-(5-halo-2-hydroxy-1H-indol-3-yl)pyridin-3-yl] (morpholin-4v1) methanone (II) for preparing I. Selective reduction of II followed by decomplexation gives 5-halo-3-[5-[(morpholin-4-y1)methy1]pyridin-2-y1]-1Hindol-2-ol (III). Catalytic cyanation of III using palladium catalysts in a robust condition provides I. Thus, e.g., I was prepared in 90% yield on a large scale (5.2 kg) by cvanation of 5-bromo-3-[5-[(morpholin-4yl)methyl]pyridin-2-yl]-1H- indol-2-ol (preparation given) with zinc cyanide in the presence of $di-\mu$ -bromobis(tri-tert-butylphosphine)dipalladium as a catalyst and zinc-dust as an additive. The process is robust for large scale cvanation under mild conditions.

612487-72-6F, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-carbonitrile

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study): PREP (Preparation): RACT (Reactant or reagent): USES (Uses)

(drug candidate; method for preparing

2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-1H-indole-5-carbonitrile and its salts using new intermediates and palladium cyanation catalysts)

RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

IT 612488-09-2P, 5-Bromo-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indol-2-ol 945633-70-5P.

[6-(5-Bromo-2-hydroxy-1H-indol-3-yl)pyridin-3-yl]morpholin-4-ylmethanone RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; method for preparing

2-hydroxy-3-[5-(morpholin-4-ylmethy1)pyridin-2-y1]-1H-indole-5carbonitrile and its salts using new intermediates and palladium cvanation catalysts)

RN 612488-09-2 CAPLUS

CN 1H-Indol-2-ol, 5-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 945633-70-5 CAPLUS

CN Methanone, [6-(5-bromo-2-hydroxy-1H-indol-3-y1)-3-pyridinyl]-4-morpholinyl-(CA INDEX NAME)

IIT 945633-71-6P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]1H-indole-5-carbonitrile citrate

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(method for preparing 2-hydroxy-3-[5-(morpholin-4-ylmethyl)pyridin-2-yl]-lH-indole-5-carbonitrile and its salts using new intermediates and

palladium cyanation catalysts)

RN 945633-71-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM

CRN 612487-72-6

CMF C19 H18 N4 O2

CM 2

CRN 77-92-9 CMF C6 H8 O7

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1350295 CAPLUS Full-text DOCUMENT NUMBER: 144:88168

TITLE: Preparation of indol-2-ol compounds containing

heterocycle moiety as kinase inhibitors

INVENTOR(S): Bressi, Jerome C.; Gangloff, Anthony R.; Hosfield, David J.; Jennings, Andrew John; Paraselli, Bheema R.;

Stafford, Jeffrey Alan

PATENT ASSIGNEE(S): Takeda San Diego, Inc., USA

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | | D | DATE | | | APPL | ICAT | D. | DATE | | | | | |
|---------------|----|-----|-----|-----|-----|-------------|------|------|-----|------|-------|----------|------|-----|-----|-----|-----|--|
| | | | | | | - | | | | | | - | | | | | | |
| WO 2005123672 | | | | | | | 2005 | 1229 | 1 | WO 2 | 005-1 | 20050613 | | | | | | |
| WO 2005123672 | | | | | | A3 2006030: | | | | | | | | | | | | |
| | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN. | CO | CR | CII | CZ. | DE | DK | DM | DZ. | EC | EE | EG | ES | FT | CB | CD | |

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             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA. ZM. ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
                                20070418
     EP 1773807
                                           EP 2005-763319
                          A2
                                                                   20050613
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
     JP 2008502687
                          т
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                                            JP 2007-516629
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     US 20080153869
                                            US 2007-570315
                          A1
                                20080626
                                                                   20070920
PRIORITY APPLN. INFO.:
                                            US 2004-579787P
                                                                P 20040614
                                            WO 2005-US20890
                                                                W 20050613
OTHER SOURCE(S):
                        CASREACT 144:88168; MARPAT 144:88168
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GI

AB Title compds. I, II [J, K, L, Y = C, N; M = CH, N; X, Z = C, N, O, etc.; R3, R4, R5 = H, halo, amino, etc.; R3 and R4, or R4 and R5 are taken together to form (un)substituted ring, with the proviso that R3, R4 and/or are absent when J,K and/or L resp. are nitrogen; R7 = H, substituent convertible in vivo to H; R13, R14 = H, alkyl, alkoxy, etc.; R16, R17 = H, alkyl, heterocycloalkyl, etc.; further details on X, Y, Z are given.] and their pharmaceutically acceptable salts were prepared For instance, general procedure is provided for the preparation of 3-(2-amino-6-methylpyrimidin-4-yl)-1H-indol-2-ol (III). In AIK (aurora-A kinase) inhibition assays, exemplified compound III exhibited the IC50 value of <100,000 nM. Compds. I and II are claimed useful for the treatment of inflammation, cancer, etc.

872174-41-98

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indol-2-ol compds. containing heterocycle moiety as kinase inhibitors for treatment of inflammation, cancer, etc.)

RN 872174-41-9 CAPLUS

CN 1H-Indo1-2-ol, 3-(2-amino-6-methyl-4-pyrimidinyl)- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:588997 CAPLUS Full-text

DOCUMENT NUMBER: 143:115438

TITLE: Preparation of substituted indol-2-ols as kinase

inhibitors

INVENTOR(S): Gangloff, Anthony R.; Nowakowski, Jacek; Paraselli, Bheema R.; Stafford, Jeffrey A.; Tennant, Michael G.

PATENT ASSIGNEE(S): Syrrx, Inc., USA

SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | KIN | KIND DATE APPLICATION NO. | | | | | | | | | | | | | | | | | |
|---------------|--------------------|---------------------------|-----|-----|--|-------------------|------|------|-----|-----------------|------|----------|----------|-----|----------|-----|-----|--|--|
| WO 2005061519 | | | | | | | | | | | | 20041217 | | | | | | | |
| Ty- | W: AE, AG, AL, | | | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | | |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | |
| F | : WS | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | | |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | | |
| | | MR, | NE, | SN, | TD, | TG | | | | | | | | | | | | | |
| US 20 | US 20050153966 | | | | | | 2005 | 0714 | | JS 2 | 004- | 20041217 | | | | | | | |
| EP 16 | 946 | 86 | | | A1 | | 2006 | 0830 | | EP 2 | 004- | | 20041217 | | | | | | |
| F | ≀: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | | |
| | | IE, | SI, | LT, | FI, | RO, | CY, | TR, | BG, | CZ, | EE, | HU, | PL, | SK, | IS | | | | |
| JP 20 | 075 | 51475 | 59 | | T | | 2007 | 0607 | | JP 2006-545517 | | | | | | | | | |
| DRITY A | RITY APPLN. INFO.: | | | | | | | | | US 2003-531202P | | | | | | | | | |
| | | | | | | WO 2004-US42631 W | | | | | | | | W 2 | 20041217 | | | | |
| ER SOUF | CE | (S): | | | CASREACT 143:115438; MARPAT 143:115438 | | | | | | | | | | | | | | |

The invention relates to compds. I [R3-R6 = H, halo, perhaloalkyl, etc.; or AB two of R3-R6 are taken together to form a ring, with the proviso that R3-R6 are absent where the ring atom to which R3-R6 are bound is nitrogen; R7 = H or a substituent convertible in vivo to hydrogen; R11-R14 = H, alkyl, alkoxy, etc.; or any two of R11-R14 are taken together to form a ring, with the proviso that R11-R14 are absent when the ring atom to which R11-R14 are bound is nitrogen; A, B, U and V = C, N; J, K, L and M = C, N; W = CR21, N; X = CR15, N; R15 = H, NO2, CN, etc.; R21 = H, NO2, CN, etc.; with the proviso that at least one of R3-R6 is selected from NH2, furanyl, quinolinyl, indolyl, pyridinyl, carboxamidinyl, aminosulfonyl, and arylalkyl (each unsubstituted or substituted), or a substituted sulfonamidyl when A, B, U, V and W are all C; or X = CR15 and R15 is an N-linked moiety when A, B, U, V and W are all C; or X = CR15 and R15 is an S-linked moiety when A, B, U, V and W are all C| that may be used to inhibit kinases, as well as compns, of matter and kits comprising these compds. General procedures for synthesis of compds. I are provided. Over 150 compds. I such as II were prepared and characterized. The exemplified compds. I have been found to have IC50 values in the range of about 0.001 to about 100,000 nM. Other values for IC50 are in the range of about 0.001 to about 10,000 nM for AIK and/or c-KIT. The present invention also relates to methods for inhibiting kinases, as well as treatment methods using compds. I.

TT

- IT 857259-54-2P 857259-55-3P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (preparation of substituted indol-2-ols as Aurora-2 and c-KIT inhibitors) 857259-54-2 CAPLUS
- CN Butanamide, 4-(dimethylamino)-N-[6-(2-hydroxy-1H-indol-3-yl)-3-pyridinyl]-(CA INDEX NAME)

RN 857259-55-3 CAPLUS

RN

CN Acetamide, 2-(dimethylamino)-N-[6-(2-hydroxy-1H-indol-3-yl)-3-pyridinyl]-(CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:283287 CAPLUS Full-text

DOCUMENT NUMBER: 142:336240

TITLE: Preparation of heterocyclic-substituted indoles as

 $\begin{array}{ccc} & \text{inhibitors of } \mathsf{GSK3}\beta \\ \mathsf{INVENTOR}(\mathsf{S}) \colon & \mathsf{Berg}, \, \mathsf{Stefan}; \, \mathsf{Hellberg}, \, \, \mathsf{Sven} \end{array}$

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

GI

| P | ATE | NT I | 10. | | | KIN |) | DATE | | | APPI | ICAT | | DATE | | | | | | |
|--------|------------------------|-------|-------|-----|-----|--|-----|----------|------|-----------------|------|------|------|----------------------|-----|----------|------|-----|--|--|
| W | | | | | | | | 20050331 | | WO 2004-SE1363 | | | | | | | | | | |
| | | W: | AE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | |
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| | | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | |
| | | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | | |
| | | | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | | |
| | | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | | |
| | | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | | |
| | | | | | TG | | | | | | | | | | | | | | | |
| | | | | | | | | | | AU 2004-273771 | | | | | | 20040921 | | | | |
| | | | | | | | | 2008 | | | | | | | | | | | | |
| | | | | | | | | | | CA 2004-2538381 | | | | | | | | | | |
| Ε | | | | | | | | | | | | | | 20040921 | | | | | | |
| | | R: | | | | | | ES, | | | | | | | | | | | | |
| | | | | | | | | RO, | | | | | | | | | | | | |
| В | R 2 | 0040 |)1463 | 32 | | A | | 2006 | 1107 | | BR 2 | 004- | 1463 | 20040921 | | | | | | |
| C | N 1 | 8863 | 397 | | | A | | 2006 | 1227 | | CN 2 | 004- | 8003 | 20040921 | | | | | | |
| | | | | | | | | | | | | | | 20040921 | | | | | | |
| I | N 2 | 10061 | DN01: | 198 | | A | | 2007 | 0803 | IN 2006-DN1198 | | | | | | 20060307 | | | | |
| | | | | | | | | | | | | | | 20060321
20060322 | | | | | | |
| M | X 2 | 0060 | 00319 | 95 | | A | | 2006 | 0623 | | MX 2 | 006- | 3195 | | | _ 2 | 0060 | 322 | | |
| PRIORI | PRIORITY APPLN. INFO.: | | | | | | | | | | | 003- | | | | | | | | |
| | | | | | | | | | | | | 003- | | | | | | | | |
| | | | | | | | | | | | | 004- | | | | w 2 | 0040 | 921 | | |
| OTHER | SOU | RCE | (S): | | | CASREACT 142:336240; MARPAT 142:336240 | | | | | | | | | | | | | | |

AB Title compds. I [P - 5-6-membered heteroarom. ring; R1 = H; R2 = alky1, CN, halo, etc.; R3 = alky1, CN, N02, carboxy, etc.; m, n = 0-4] and derivs. are prepared For instance, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)carbonyl]pyridin-2-y1]-1H-indole-6-carbonitrile is prepared by the reaction of 2-oxoindoline-6-carbonitrile and 1-[(6-chloro-1-oxidopyridin-3-y1)carbonyl]-4-methylpiperazine (preparation given). Ki of selected compds. of the invention was 20 μM for GSK3β. I are useful for the treatment of, e.g., Alzheimer's Disease.
IT 698345-96-9P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)sulfonyl]pyridin-2-y1]-1H-indole-5-carbonitrile 948474-13-5F, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)methyl]pyridin-2-y1]-1H-indole-5-

yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carbonitrile %46474-13-5F, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-carboxylic acid methyl ester RL: PAC (Pharmacological activity); RCT (Reactant); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of heterocyclic-substituted indoles as inhibitors of GSK3B)

698345-96-9 CAPLUS
1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848474-13-5 CAPLUS

RN

CN

IΤ

CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-, methyl ester (CA INDEX NAME)

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v1)carbonv1|pvridin-2-v1|-1H-indole-6-carbonitrile hvdrochloride
848472-55-9P, 6-(6-Cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(morpholin-
4-v1)ethvllnicotinamide hydrochloride 348472-56-0P.
6-(6-Cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(pyrrolidin-1-
yl)ethyl]nicotinamide hydrochloride 848472-57-1P,
6-(6-Cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(pyrrolidin-1-
yl)ethyl]nicotinamide 848472-58-2P,
6-(6-Cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(dimethylamino)ethyl]-N-
methylnicotinamide hydrochloride 848472-59-3P.
6-(6-Cvano-2-hvdroxy-1H-indol-3-v1)-N-(2-(pvrrolidin-1-v1)ethv1)pvridine-3-
sulfonamide hydrochloride 848472-69-6P,
6-(6-Cvano-2-hydroxy-1H-indol-3-v1)-N-(2-(pyrrolidin-1-v1)ethyl)pyridine-3-
sulfonamide 848472-62-8P,
2-Hydroxy-3-[5-(piperazine-1-sulfonyl)pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 348472-64-0P,
3-[5-[14-[2-(Dipropylamino)ethyl]piperazin-1-v1]sulfonyl]pyridin-2-v1]-2-
hydroxy-1H-indole-6-carbonitrile hydrochloride 848472-66-2P,
3-[5-[[4-[2-(Dipropylamino)ethyl]piperazin-1-yl]sulfonyl]pyridin-2-yl]-2-
hydroxy-1H-indole-6-carbonitrile 848472-68-4P,
2-Hydroxy-3-[5-[[4-[2-(morpholin-4-yl)ethyl]piperazin-1-
yl]sulfonyl]pyridin-2-yl]-1H-indole-6-carbonitrile hydrochloride
848472-70-8P, 2-Hydroxy-3-[5-[[4-[2-(morpholin-4-
yl)ethyl]piperazin-1-yl]sulfonyl]pyridin-2-yl]-1H-indole-6-carbonitrile
848472-72-0P, 2-Hydroxy-3-[5-[[4-[2-(pyrrolidin-1-
v1)ethv1|piperazin-1-v1|sulfonv1|pvridin-2-v1|-1H-indole-6-carbonitrile
hydrochloride 848472-74-2P,
2-Hydroxy-3-[5-[[4-[2-(pyrrolidin-1-yl)ethyl]piperazin-1-
v1]sulfonv1]pvridin-2-v1]-1H-indole-6-carbonitrile 848472-76-4P,
2-Hydroxy-3-[5-[[4-(2-methoxyethyl)piperazin-1-yl]sulfonyl]pyridin-2-yl]-
1H-indole-6-carbonitrile hydrochloride 848472-78-6P,
2-Hydroxy-3-[5-[[4-(2-methoxyethyl)piperazin-1-yl]sulfonyl]pyridin-2-yl]-
1H-indole-6-carbonitrile 848472-80-0P,
2-Hydroxy-N-(3-methoxypropyl)-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848472-82-2F, 2-Hydroxy-N-(3-methoxypropyl)-3-[5-[(4-
methylpiperazin-1-y1)sulfonyl]pyridin-2-y1]-1H-indole-5-carboxamide
848472-84-4P, 2-Hydroxy-N-(2-methoxyethyl)-3-[5-[(morpholin-4-
yl)methyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
648472-86-6P, 2-Hydroxy-N-(2-methoxyethyl)-3-[5-[(morpholin-4-
yl)methyl]pyridin-2-yl]-1H-indole-5-carboxamide 848472-88-8P,
2-Hvdroxv-3-[5-[(morpholin-4-v1)methvl]pvridin-2-v1]-N-[(pvridin-2-
vl)methyl]-1H-indole-5-carboxamide hydrochloride 848472-90-2P,
2-Hydroxy-3-[5-[(morpholin-4-vl)methyl]pyridin-2-vl]-N-[(thiophen-2-
vl)methyl]-1H-indole-5-carboxamide hydrochloride 848472-92-4P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[2-(2-
oxoimidazolidin-1-vl)ethvl]-1H-indole-5-carboxamide hydrochloride
848472-93-5P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
N-[2-(2-oxoimidazolidin-1-y1)ethy1]-1H-indole-5-carboxamide
648472-95-7P, N-[2-(Acetylamino)ethyl]-2-hydroxy-3-[5-[(morpholin-
4-vl)methvl)pvridin-2-vl]-1H-indole-5-carboxamide hydrochloride
848472-97-9P, 2-Hydroxy-N-(2-methoxybenzyl)-3-[5-[(morpholin-4-
yl)methyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848472-99-1P, 2-Hydroxy-N-(2-methoxybenzyl)-3-[5-[(morpholin-4-
yl)methyl]pyridin-2-yl]-1H-indole-5-carboxamide 848473-01-8P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-N-[4-
(trifluoromethyl)benzyl]-1H-indole-5-carboxamide hydrochloride
848473-03-0F, 2-Hvdroxv-3-[5-[(morpholin-4-v1)methvl]pvridin-2-v1]-
N-[2-(trifluoromethyl)benzyl]-1H-indole-5-carboxamide hydrochloride
848473-05-2P, 2-Hydroxy-3-[5-[(morpholin-4-v1)methyl]pyridin-2-v1]-
N-[2-(trifluoromethyl)benzyl]-1H-indole-5-carboxamide 848473-07-4P
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, 2-Hvdroxv-3-[5-[(morpholin-4-vl)methvl]pvridin-2-vl]-N-[2-
(trifluoromethoxy)benzyl]-1H-indole-5-carboxamide hydrochloride
848473-09-6P, 2-Hydroxy-3-[5-[(morpholin-4-v1)methyl]pyridin-2-v1]-
N-[2-(trifluoromethoxy)benzyl]-1H-indole-5-carboxamide
848473-11-0P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
N-[4-(trifluoromethoxy)benzyl]-1H-indole-5-carboxamide hydrochloride
848473-13-29, 3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-
[(thiophene-2-v1)methy1]-1H-indole-5-carboxamide hydrochloride
848473-15-4P. 3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-
[(thiophene-2-y1)methy1]-1H-indole-5-carboxamide 848473-17-6P,
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-[(pyridin-2-
v1)methv11-1H-indole-5-carboxamide hydrochloride 848473-19-8P.
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-[(pyridin-2-
yl)methyl]-1H-indole-5-carboxamide 848473-21-2P,
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-N-(2-methoxyethyl)-1H-
indole-5-carboxamide hydrochloride 848473-23-4P,
3-[5-[(Diethylamino)methyl]pyridin-2-v1]-2-hydroxy-N-(2-methoxyethyl)-1H-
indole-5-carboxamide 848473-25-6P,
2-Hydroxy-3-[5-[(morpholin-4-v1)methyl]pyridin-2-v1]-N-[(tetrahydrofuran-2-
yl)methyl]-1H-indole-5-carboxamide hydrochloride 848473-27-8P,
2-Hydroxy-3-[5-[(morpholin-4-y1)methy1]pyridin-2-y1]-N-[(tetrahydrofuran-2-
vl)methyl]-1H-indole-5-carboxamide 848473-29-0P,
N-Benzyl-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-
carboxamide hydrochloride 848473-31-4P,
2-Hydroxy-3-(5-((morpholin-4-vl))methyl|pyridin-2-vl|-N-propyl-1H-indole-5-
carboxamide hydrochloride 848473-33-6P,
2-Hydroxy-N-(2-methoxyphenyl)-3-[5-[(4-methylpiperazin-1-
v1)sulfonv1|pvridin-2-v1|-1H-indole-5-carboxamide hydrochloride
848473-35-8P, 2-Hydroxy-N-(2-methoxyphenyl)-3-[5-[(4-
methylpiperazin-1-vl)sulfonvl|pvridin-2-vl|-1H-indole-5-carboxamide
848473-39-2P, 2-Hydroxy-N-(4-methoxyphenyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848473-41-6P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-[(pyridin-
3-v1)methyl]-1H-indole-5-carboxamide hydrochloride 848473-43-8P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)sulfonyl]pyridin-2-y1]-N-[(pyridin-
4-v1)methv11-1H-indole-5-carboxamide hydrochloride 348473-45-0P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)sulfonyl]pyridin-2-y1]-N-[(pyridin-
2-v1)methv1]-1H-indole-5-carboxamide hydrochloride 848473-47-2P,
N-[2-(Aminosulfonyl)ethyl]-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-
2-v11-1H-indole-5-carboxamide hydrochloride 848473-49-4F,
2-Hydroxy-N-[2-(methylsulfonyl)ethyl]-3-[5-[(morpholin-4-yl)methyl]pyridin-
2-v11-1H-indole-5-carboxamide hydrochloride 848473-52-9F.
3-(4-Cyanopyridin-2-yl)-2-hydroxy-N-(2-methoxyethyl)-1H-indole-5-
carboxamide 848473-54-1P,
3-(5-Cvanopyridin-2-v1)-2-hydroxy-N-[2-[(4-methylpiperazin-1-
yl)sulfonyl]ethyl]-1H-indole-5-carboxamide hydrochloride
848473-56-3P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-
1H-indole-5-carboxamide hydrochloride 848473-58-5F,
2-Hvdroxv-3-[5-[(4-methylpiperazin-1-vl)sulfonvl]pvridin-2-vl]-1H-indole-5-
sulfonamide hydrochloride 848473-61-0P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-
carboxamide hydrochloride 848473-63-29,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-6-
carboxamide hydrochloride 8484/3-64-3F,
3-[5-[4-[2-(Dimethylamino)ethyl]piperazin-1-yl]sulfonyl|pyridin-2-yl]-2-
hydroxy-1H-indole-6-carbonitrile hydrochloride 848473-65-4P.
2-Hydroxy-N-(2-methoxyethy1)-3-(5-nitropyridin-2-y1)-1H-indole-5-
carboxamide hydrochloride 848473-66-5P.
N-(2-Cyanoethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
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indole-5-carboxamide hydrochloride 848473-67-6P.
N-(2-Cyanoethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
indole-5-carboxamide 848473-68-7P.
2-Hydroxy-N-[2-(1H-imidazol-4-yl)ethyl]-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
843473-69-89, 2-Hydroxy-N-[2-(1H-imidazol-4-yl)ethyl]-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
848473-70-1P, N-Benzv1-2-hydroxy-3-[5-[(4-methylpiperazin-1-
v1)sulfonv1]pvridin-2-v1]-1H-indole-5-carboxamide hydrochloride
848473-71-2P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-propyl-1H-indole-5-carboxamide hydrochloride
848473-72-3P, 2-Hydroxy-N-(2-methoxyethyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848473-73-4P.
N-[2-(Dimethylamino)ethyl]-2-hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-74-5P, 3-(5-Cyanopyridin-2-y1)-2-hydroxy-N-(2-methoxyethy1)-
1H-indole-5-carboxamide hydrochloride 848473-75-6P,
2-Hydroxy-3-[5-[(piperidin-1-vl)methyl]pyridin-2-vl]-1H-indole-5-
carboxamide hydrochloride 848473-76-7P,
2-Hydroxy-N-methyl-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-
carboxamide hydrochloride 848473-77-8P,
6-Bromo-2-hydroxy-N-methyl-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-
2-yl]-1H-indole-5-carboxamide hydrochloride 848473-78-9P,
6-Bromo-2-hydroxy-N-isopropyl-3-[5-[(4-methylpiperazin-1-
v1)sulfonv1|pvridin-2-v1|-1H-indole-5-carboxamide hydrochloride
848473-79-0P, 6-Bromo-2-hydroxy-N-(2-methoxyethy1)-3-[5-[(4-
methylpiperazin-1-v1)sulfonv1|pvridin-2-v1|-1H-indole-5-carboxamide
hydrochloride 848473-80-3P.
6-Bromo-2-hvdroxv-3-[5-[(4-methvlpiperazin-1-v1)sulfonvl]pvridin-2-v1]-N-
[(tetrahydrofuran-2-yl)methyl]-1H-indole-5-carboxamide hydrochloride
848473-81-4P, 6-Bromo-2-hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-[2-(pyrrolidin-1-yl)ethyl]-1H-indole-5-
carboxamide hydrochloride 848473-82-5P,
N-[3-(Dimethylamino)propyl]-2-hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-83-6P, 2-Hydroxy-N-(2-methoxyethyl)-3-[5-[(morpholin-4-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-84-7P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-pyridin-3-yl-1H-indole-5-carboxamide
hydrochloride 848473-85-8P.
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-pyridin-3-
vl-1H-indole-5-carboxamide 848473-86-9P.
2-Hydroxy-N-(2-methoxybenzyl)-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848473-87-0P, 2-Hydroxy-N-(2-methoxybenzyl)-3-[5-[(4-
methylpiperazin-1-y1)sulfonyl]pyridin-2-y1]-1H-indole-5-carboxamide
848473-88-1P, 2-Hydroxy-N-(3-methoxybenzyl)-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848473-89-2P.
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-N-
(tetrahydro-2H-pyran-4-yl)-1H-indole-5-carboxamide hydrochloride
848473-90-5P, 2-Hydroxy-N-(4-methoxybenzyl)-3-[5-[(4-
methylpiperazin-1-y1)sulfonyl]pyridin-2-y1]-1H-indole-5-carboxamide
hydrochloride 848473-91-6P,
2-Hydroxy-N-(4-methoxybenzyl)-3-[5-[(4-methylpiperazin-1-
v1)sulfonv1|pvridin-2-v1|-1H-indole-5-carboxamide 848473-92-7P,
N-(Cyanomethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
indole-5-carboxamide hydrochloride 848473-93-8P,
N-(Cyanomethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
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indole-5-carboxamide 848473-94-9P.
N-(2-Furylmethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
indole-5-carboxamide hydrochloride 848473-95-0F.
N-(2-Furylmethyl)-2-hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-
indole-5-carboxamide 848473-96-12.
2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)methyl]pyridin-2-y1]-1H-indole-6-
carbonitrile hydrochloride 348473-97-2P,
2-Hydroxy-3-[5-[(piperidin-1-yl)methyl]pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 848473-98-3P.
2-Hvdroxv-3-[5-[(3-oxopiperazin-1-v1)methv1]pvridin-2-v1]-1H-indole-6-
carbonitrile hydrochloride 848473-99-4P,
2-Hydroxy-3-[6-(2-(morpholin-4-yl)ethoxy)pyrimidin-4-yl]-1H-indole-6-
carbonitrile hydrochloride 848474-00-0F,
3-[6-[2-(Diisopropylamino)ethoxy]pyrimidin-4-y1]-2-hydroxy-1H-indole-6-
carbonitrile hydrochloride 848474-01-1P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-
carboxvlic acid hydrochloride 848474-02-2P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)sulfonyl]pyridin-2-y1]-N-[3-(2-
oxopyrrolidin-1-v1)propv1|-1H-indole-5-carboxamide hydrochloride
848474-03-3P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-[(thiophene-2-yl)methyl]-1H-indole-5-
carboxamide hydrochloride 848474-04-4P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-y1)sulfonyl]pyridin-2-y1]-N-[2-(2-
oxoimidazolidin-1-yl)ethyl]-1H-indole-5-carboxamide hydrochloride
848474-05-5P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
v1) sulfonv1|pvridin-2-v1|-N-[2-(thiophen-2-v1)ethv1|-1H-indole-5-
carboxamide hydrochloride 848474-06-6P,
N-[2-(Acetylamino)ethyl]-2-hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848474-07-7P, N-(2-Cvanoethvl)-2-hvdroxv-3-[5-[(4-methvlpiperazin-
1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide hydrochloride
848474-68-8P, N-[2-(Aminosulfonyl)ethyl]-2-hydroxy-3-[5-[(4-
methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxamide
hydrochloride 848474-09-9P.
N-(Cyanomethyl)-2-hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-
yl]-1H-indole-5-carboxamide hydrochloride 848474-10-2P,
2-Hydroxy-3-[5-(4-methylpiperazinesulfon-1-v1)pyridin-2-v1]-1H-indole-5-
carboxylic acid N-[(carbamoyl)methyl]amide hydrochloride
848474-11-3P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
yl)sulfonyl]pyridin-2-yl]-N-[2-(methylsulfonyl)ethyl]-1H-indole-5-
carboxamide hydrochloride 848474-14-6P 848474-15-7P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-
carboxvlic acid N-[(thiophen-2-vl)methvl]amide 848474-16-89
848474-17-9P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-
y1)methy1]pyridin-2-y1]-1H-indole-5-carboxylic acid benzylamide
848474-18-0P 848474-19-1P,
3-[5-[(Diethylamino)methyl]pyridin-2-yl]-2-hydroxy-lH-indole-5-carboxylic
acid [2-(methanesulfonvl)ethvl]amide 848567-30-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of heterocyclic-substituted indoles as inhibitors of
   GSK3B)
848472-54-8 CAPLUS
1H-Indole-6-carbonitrile, 2-hvdroxv-3-[5-[(4-methyl-1-
piperazinyl)carbonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)
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RN

CN

RN 848472-55-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-(6-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(4-morpholiny1)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-56-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-(6-cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-57-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-(6-cyano-2-hydroxy-1H-indol-3-y1)-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

- RN 848472-58-2 CAPLUS
- CN 3-Pyridinecarboxamide, 6-(6-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(dimethylamino)ethyl]-N-methyl-, hydrochloride (1:1) (CA INDEX NAME)

- HCl
- RN 848472-59-3 CAPLUS
- CN 3-Pyridinesulfonamide, 6-(6-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(1-pyrrolidiny1)ethy1]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 848472-60-6 CAPLUS
- CN 3-Pyridinesulfonamide, 6-(6-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

- RN 848472-62-8 CAPLUS
- CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(1-piperazinylsulfonyl)-2pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 848472-64-0 CAPLUS
- CN 1H-Indole-6-carbonitrile, 3-[5-[[4-[2-(dipropylamino)ethyl]-1piperazinyl]sulfonyl]-2-pyridinyl]-2-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

- RN 848472-66-2 CAPLUS
- CN 1H-Indole-6-carbonitrile, 3-[5-[[4-[2-(dipropylamino)ethyl]-1piperazinyl]sulfonyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

- 848472-68-4 CAPLUS RN
- 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-[2-(4-morpholiny1)ethy1]-1-CN piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-70-8 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-[2-(4-morpholinyl)ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848472-72-0 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-[2-(1-pyrrolidiny1)ethy1]-1-piperaziny1]sulfony1]-2-pyridiny1]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-74-2 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-[2-(1-pyrrolidinyl)ethyl]-1piperazinyl]sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848472-76-4 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-(2-methoxyethyl)-1-piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-78-6 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[[4-(2-methoxyethyl)-1-piperazinyl]sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848472-80-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(3-methoxypropyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848472-82-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(3-methoxypropyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 848472-84-4 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848472-86-6 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 848472-88-8 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-(2-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

- HC1
- RN 848472-90-2 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-(2-thienylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848472-92-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl)-N-[2-(2-oxo-1-imidazolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848472-93-5 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[2-(2-oxo-1-imidazolidinyl)ethyl]- (CA INDEX NAME)

RN 848472-95-7 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(acetylamino)ethyl]-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848472-97-9 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(2-methoxyphenyl)methyl]-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848472-99-1 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(2-methoxyphenyl)methyl]-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 848473-01-8 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[(4-(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848473-03-0 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[(2-(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-05-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 848473-07-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[[2-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 848473-09-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

- RN 848473-11-0 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[[4-(trifluoromethoxy)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848473-13-2 CAPLUS
- CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2hydroxy-N-(2-thienylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

- RN 848473-15-4 CAPLUS
- CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-(2-thienylmethyl)- (CA INDEX NAME)

- RN 848473-17-6 CAPLUS
- CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2hydroxy-N-(2-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-19-8 CAPLUS
CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2hydroxy-M-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 848473-21-2 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2hydroxy-N-(2-methoxyethyl)-, hydrochloride (1:1) (CA INDEX NAME)

848473-23-4 CAPLUS

RN

CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-(2-methoxyethyl)- (CA INDEX NAME)

RN 848473-25-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[(tetrahydro-2-furanyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-27-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)

RN 848473-29-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

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RN 848473-31-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-N-propyl-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-33-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyphenyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-35-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyphenyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848473-39-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(4-methoxyphenyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-41-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(3-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-43-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]2-pyridinyl]-N-(4-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 848473-45-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]2-pyridinyl]-N-(2-pyridinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 848473-47-2 CAPLUS
- CN 1H-Indole-5-carboxamide, N-[2-(aminosulfonyl)ethyl]-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\mathbf{H}_{2}\mathbf{N} = \emptyset \quad \mathbf{C}\mathbf{H}_{2} - \mathbf{C}\mathbf{H}_{2} - \mathbf{N}\mathbf{H} - \mathbf{C} \quad \mathbf{H}_{2} - \mathbf{C}\mathbf{H}_{2} - \mathbf{N}\mathbf{H} - \mathbf{C} \quad \mathbf{H}_{2} - \mathbf{C}\mathbf{H}_{2} - \mathbf{$$

- RN 848473-49-4 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[2-(methylsulfonyl)ethyl]-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

848473-52-9 CAPLUS

RN

CN 1H-Indole-5-carboxamide, 3-(4-cyano-2-pyridinyl)-2-hydroxy-N-(2-methoxyethyl)- (CA INDEX NAME)

- RN 848473-54-1 CAPLUS
- CN 1H-Indole-5-carboxamide, 3-(5-cyano-2-pyridinyl)-2-hydroxy-N-[2-[(4-methyl-1-piperazinyl)sulfonyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

- RN 848473-56-3 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848473-58-5 CAPLUS
- CN 1H-Indole-5-sulfonamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 848473-61-0 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-63-2 CAPLUS

CN 1H-Indole-6-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-64-3 CAPLUS

CN IH-Indole-6-carbonitrile, 3-[5-[[4-[2-(dimethylamino]ethyl]-1-piperazinyl]sulfonyl]-2-pyridinyl]-2-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-65-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-(5-nitro-2-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-66-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-cyanoethy1)-2-hydroxy-3-[5-(4-morpholinylmethy1)-2-pyridiny1]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-67-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-cyanoethyl)-2-hydroxy-3-[5-(4morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 848473-68-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[2-(1H-imidazol-4-yl)ethyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-69-8 CAPLUS

1H-Indole-5-carboxamide, 2-hydroxy-N-[2-(1H-imidazol-5-y1)ethy1]-3-[5-[(4-CN methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848473-70-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN

848473-71-2 CAPLUS 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-CN 2-pyridinyl]-N-propyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 848473-72-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-[5-[(4-methyl-1piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-73-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(dimethylamino)ethyl]-2-hydroxy-3-[5-[(4methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-74-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-(5-cyano-2-pyridinyl)-2-hydroxy-N-(2methoxyethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-75-6 CAPLUS

CN

1H-Indole-5-carboxamide, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848473-76-7 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-N-methyl-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848473-77-8 CAPLUS
- CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-N-methyl-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- HCl
- RN 848473-78-9 CAPLUS
- CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-N-(1-methylethyl)-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-79-0 CAPLUS

CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-N-(2-methoxyethy1)-3-[5-[(4-methy1-1-piperaziny1)sulfony1]-2-pyridiny1]-, monohydrochloride (9CI) (CA INDEX NAME)

■ HC1

RN 848473-80-3 CAPLUS

1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[(tetrahydro-2-furanyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 848473-81-4 CAPLUS

CN 1H-Indole-5-carboxamide, 6-bromo-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-82-5 CAPLUS

CN 1H-Indole-5-carboxamide, N-[3-(dimethylamino)propyl]-2-hydroxy-3-[5-[(4-methyl-1-piperaxinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-83-6 CAPLUS CN 1H-Indole-5-carboxa

1H-Indole-5-carboxamide, 2-hydroxy-N-(2-methoxyethyl)-3-[5-(4-morpholinylsulfonyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-84-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-3-pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-85-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperaziny1)sulfony1]-2-pyridinyl]-N-3-pyridinyl- (CA INDEX NAME)

RN 848473-86-9 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(2-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 848473-87-0 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(2-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848473-88-1 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(3-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-89-2 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848473-90-5 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(4-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-91-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-N-[(4-methoxyphenyl)methyl]-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

RN 848473-92-7 CAPLUS

CN 1H-Indole-5-carboxamide, N-(cyanomethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848473-93-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-(cyanomethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 848473-94-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-furanylmethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN

CN 1H-Indole-5-carboxamide, N-(2-furanylmethyl)-2-hydroxy-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

- RN 848473-96-1 CAPLUS
- CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 848473-97-2 CAPLUS
- CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 848473-98-3 CAPLUS
- CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(3-oxo-1-piperazinyl)methyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 848473-99-4 CAPLUS
- CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[6-[2-(4-morpholinyl)ethoxy]-4pyrimidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 848474-00-0 CAPLUS
- CN 1H-Indole-6-carbonitrile, 3-[6-[2-[bis(1-methylethyl)amino]ethoxy]-4pyrimidinyl]-2-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

- RN 848474-01-1 CAPLUS
- CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- HC1
- RN 848474-02-2 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848474-03-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-(2-thienylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 848474-04-4 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[2-(2-oxo-1-imidazolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 848474-05-5 CAPLUS

RN 848474-06-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-[2-(acetylamino)ethyl]-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848474-07-7 CAPLUS

CN 1H-Indole-5-carboxamide, N-(2-cyanoethyl)-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848474-08-8 CAPLUS

CN IH-Indole-5-carboxamide, N-[2-(aminosulfonyl)ethyl]-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848474-09-9 CAPLUS

CN 1H-Indole-5-carboxamide, N-(cyanomethyl)-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848474-10-2 CAPLUS CN 1H-Indole-5-carboxa

1H-Indole-5-carboxamide, N-(2-amino-2-oxoethy1)-2-hydroxy-3-[5-[(4-methy1-1-piperaziny1)sulfony1]-2-pyridiny1]-, hydrochloride (1:?) (CA INDEX NAME)

RN 848474-11-3 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-N-[2-(methylsulfonyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 848474-14-6 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperaziny1)methyl]-2pyridinyl]-N-(2-thienylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

RN 848474-15-7 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2pyridinyl]-N-(2-thienylmethyl)- (CA INDEX NAME)

RN 848474-16-8 CAPLUS

CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2pyridinyl]-N-(phenylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 848474-17-9 CAPLUS
- CN 1H-Indole-5-carboxamide, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2pyridinyl]-N-(phenylmethyl)- (CA INDEX NAME)

- RN 848474-18-0 CAPLUS
- CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2hydroxy-N-[2-(methylsulfonyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 848474-19-1 CAPLUS
- CN 1H-Indole-5-carboxamide, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2-hydroxy-N-[2-(methylsulfonyl)ethyl]- (CA INDEX NAME)

- RN 848567-90-8 CAPLUS
- CN 1H-Indole-5-carboxamide, N-(3-amino-3-oxopropyl)-2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

- 848473-37-0, Methyl 2-hydroxy-3-[5-[(4-methylpiperazin-1
 - yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxylate
 - RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of heterocyclic-substituted indoles as inhibitors of GSK3B)

- 848473-37-0 CAPLUS RN
- CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-

piperazinvl)sulfonvl]-2-pvridinvl]-, methvl ester (CA INDEX NAME)

848472-43-5P 848472-45-7P, Methyl

2-hydroxy-3-[5-[(morpholin-4-vl)methyl)pyridin-2-vl]-1H-indole-5carboxylate 848472-47-9P, Methyl

3-[5-[(diethylamino)methyl]pyridin-2-yl]-2-hydroxy-1H-indole-5-carboxylate 848472-48-0P, Methyl 2-hydroxy-3-[5-[(4-methylpiperazin-1-

yl)sulfonyl]pyridin-2-yl]-1H-indole-5-carboxylate hydrochloride

848472-50-4P, 2-Hydroxy-3-[5-[(4-methylpiperazin-1-

v1)sulfonv1|pvridin-2-v1|-1H-indole-5-carboxvlic acid 848472-53-7P

, Methyl 3-(4-cyanopyridin-2-v1)-2-hydroxy-1H-indole-5-carboxylate RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (preparation of heterocyclic-substituted indoles as inhibitors of

GSK3B) 848472-43-5 CAPLUS RN

CN 3-Pyridinecarboxylic acid, 6-(6-cyano-2-hydroxy-1H-indol-3-y1)-, ethyl ester (CA INDEX NAME)

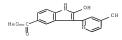
- RN 848472-45-7 CAPLUS
- CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, methyl ester (CA INDEX NAME)

- RN 848472-47-9 CAPLUS
- CN 1H-Indole-5-carboxylic acid, 3-[5-[(diethylamino)methyl]-2-pyridinyl]-2hydroxy-, methyl ester (CA INDEX NAME)

- RN 848472-48-0 CAPLUS
- CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)

- RN 848472-50-4 CAPLUS
- CN 1H-Indole-5-carboxylic acid, 2-hydroxy-3-[5-[(4-methyl-1piperazinyl)sulfonyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 848472-53-7 CAPLUS
- CN 1H-Indole-5-carboxylic acid, 3-(4-cyano-2-pyridiny1)-2-hydroxy-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2005:199862 CAPLUS Full-text

DOCUMENT NUMBER: 142:447077

TITLE: The reaction between 3-aminocrotonates and

oxindol-3-ylidene derivatives: synthesis of highly

substituted pyrroles

AUTHOR(S): Rehn, Stanley; Bergman, Jan

Unit for Organic Chemistry, Department of Biosciences, CORPORATE SOURCE:

Karolinska Institute and Soedertoern University

College, Huddinge, SE-141 57, Swed. Tetrahedron (2005), 61(12), 3115-3123

SOURCE: CODEN: TETRAB; ISSN: 0040-4020

Elsevier B.V. PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:447077

The reaction between 3-aminocrotonates and 3-acetonylideneoxindole in refluxing toluene resulted in 2-pyrrol-3'-vloxindoles in high yields (around 90%). At room temperature the 2-pyrrol-3'-yloxindoles exists as keto-enol tautomers. Treatment with POC13 yielded the 2-chloro-3-pyrrolyl indole, which gave the pyrrolo annulated indolopyran-2-one upon basic hydrolysis of 2chloro-3-pyrrolyl indole Me ester.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (preparation and tautomerism of pyrrolyloxindoles)

851085-22-8F 851085-24-0F

851085-22-8 CAPLUS RN

IT

1H-Pyrrole-3-carboxylic acid, 4-(2-hydroxy-1H-indol-3-y1)-2,5-dimethyl-, ethyl ester (CA INDEX NAME)

RN 851085-24-0 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-(2-hydroxy-1H-indol-3-yl)-1,2,5-trimethyl-, methyl ester (CA INDEX NAME)



IT 851085-23-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and tautomerism of pyrrolyloxindoles)

RN 851085-23-9 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-(2-hydroxy-1H-indol-3-y1)-2,5-dimethy1-, methyl ester (CA INDEX NAME)

IT 851085-18-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (reactions of pyrrolyloxindoles)

RN 851085-18-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[(ethoxycarbonyl)oxy]-3-[4-(methoxycarbonyl)-1,2,5-trimethyl-1H-pyrrol-3-yl]-, ethyl ester (CA INDEX

(methoxycarbonyl)-1,2,5-trimethyl-1H-pyrrol-3-yl]-, ethyl ester (CA INDE: NAME)

REFERENCE COUNT:

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NOMBER: 2004:493561 CAPLUS Full-text DOCUMENT NUMBER: 141:54365

30

TITLE: Preparation of 1,3,5-triazines as kinase inhibitors for treatment of angiogenesis or vasculogenesis

INVENTOR(S): Armistead, David M.; Bemis, Jean E.; Buchanan, John
L.; Dipietro, Lucian V.; Elbaum, Daniel; Geuns-Meyer,
Stephanie D.; Habgood, Gregory J.; Kim, Joseph L.;
Marshall, Teresa L.; Novak, Perry M.; Nunes, Joseph

J.; Patel, Vinod F.; Toledo-Sherman, Leticia M.; Zhu, Xiaotian

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 300 pp., Cont. of U.S. Ser. No.

85,053, abandoned. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|------------------------|--------|-----------|-------------------|----------|--|--|
| | | | | | | |
| US 20040116388 | A1 | 20040617 | US 2003-699518 | 20031031 | | |
| US 7074789 | B2 | 20060711 | | | | |
| ES 2306671 | Т3 | 20081116 | ES 2000-972036 | 20001006 | | |
| PRIORITY APPLN. INFO.: | | | US 1999-158176P P | 19991007 | | |
| | | | US 1999-166978P P | 19991123 | | |
| | | | US 1999-170378P P | 19991213 | | |
| | | | US 2000-183263P P | 20000217 | | |
| | | | US 2000-215576P P | 20000630 | | |
| | | | US 2000-219801P P | 20000720 | | |
| | | | US 2000-685053 B1 | 20001006 | | |
| OTHER COURCE(C). | MADDAT | 141.64966 | | | | |

OTHER SOURCE(S): MARPAT 141:54365

AB Title compds. I [wherein R1 and R2 = independently R3, R8, NHR3, NHR5, NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, (un) substituted heterocyclyl, alkyl; R3 = independently aryl, (un)substituted Ph, heteroaryl; R5 = independently H, alkynyl, cycloalkenyl, aryl, R9, (un)substituted (cyclo)alkyl, alkenyl; R6 = independently COR5, CO2R5, CONR5R5, C(=NR5)NR5R5, SO1-2R5; R8 = independently (un)substituted (hetero)monocyclyl, (hetero)bicyclyl, (hetero)tricyclyl] were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. Examples include a number of general synthetic methods, specific exptl. details for the preparation of selected invention compds., and phys. and bioassay data. For instance, 2,4-dichloro-1,3,5-triazine was coupled with 3,4,5-trimethoxyaniline in the presence of diisopropylethylamine in DMF to give the triazinamine (37%). Subsequent reaction with 4-aminoveratrole using diisopropylethylamine in EtOH provided II (66%). The latter was one of over 950 invention compds. tested for activity against the EGFR-1, IGFR-1, Akt3-1, Met-1, KDR-1, Zap-1, Lck-1, Itk-1, PDGFRB-1, Tek-1, ErbB2-2, EPHB4-1, ErbB4-1, FGFR1-1, Flt-1, Fyn-1, Hck-1, Lyn-1, Ret-1, and/or Src-1 receptors with IC50 values in ranges from

<0.4 μ g/mL to >4.5 μ g/mL. Thus, I and their compns. are useful for the treatment of diseases or conditions involving angiogenesis or vasculogenesis (no data).

IT 333728-93-1F 333729-76-3F 333739-27-1F RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(kinase inhibitor; preparation of triazines as kinase inhibitors for treatment of angiogenesis or vasculogenesis)

RN 333728-93-1 CAPLUS

(Uses)

CN 1H-Indol-2-ol, 3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl] (CA INDEX NAME)

RN 333729-76-3 CAPLUS

CN 1H-Indol-2-ol, 1-methyl-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]- (CA INDEX NAME)

RN 333730-27-1 CAPLUS

CN 1H-Indol-2-o1, 5-chloro-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-y1]- (CA INDEX NAME)

REFERENCE COUNT:

47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:41121 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 140:94045

TITLE: Preparation of hypoglycemic imidazoline compounds INVENTOR(S): Takeuchi, Kumiko; Jirousek, Michael Robert; Paal,

Michael; Ruhter, Gerd; Schotten, Theo

PATENT ASSIGNEE(S): U:

SOURCE: U.S. Pat. Appl. Publ., 106 pp.

CODEN: USXXCO Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE:

PATENT NO. KIND DATE APPLICATION NO. DATE US 20040009976 A1 20040115 US 2002-135963 20020430 PRIORITY APPLN. INFO.: US 2002-135963 20020430 MARPAT 140:94045

OTHER SOURCE(S):

AB The title compds. I [X = 0, S, NR5 with R5 = H, alkyl, protecting group; R1, R1', R2, R3 = H, alkyl; R1 and R2 form a bond and R1' and R3 are H, alkyl; or R1 and R2 form a carbocyclic ring; R4 = (un)substituted indolyl, naphthyl, quinolinyl, etc.; n = 0-2], useful for treating diabetes, diabetic complications, metabolic disorders or related diseases where impaired glucose disposal is present, were prepared and formulated. E.g., preparation of 5chloro-2-methyl-3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indole is described.

IT 227800-70-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hypoglycemic imidazolines)

RN 227800-70-6 CAPLUS

CN 1H-Indole, 5-chloro-3-(4,5-dihydro-1H-imidazol-2-yl)-2-(phenylthio)- (CA INDEX NAME)

L3 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:796689 CAPLUS Full-text

DOCUMENT NUMBER: 139:323431

TITLE: Preparation of heterocyclyl-substituted 2-oxindoles and 2,3-dihydro-1H-indol-2-ols as glycogen synthase kinase-3 inhibitors

INVENTOR(S): Berg, Stefan; Hellberg, Sven; Nyloef, Martin; Xue, Yafeng

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE:

PCT Int. Appl., 114 pp.

DOCUMENT TYPE: LANGUAGE:

CODEN: PIXXD2 Patent English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

| PATENT NO. | | | | KIND DATE | | APPLICATION NO. | | | | | | | DATE | | | | | |
|---------------|-----------------------|-------|------|-----------|----------------------------|-----------------|--------------|-------|-----|------|------|------|------|----------|-----|------|-------|-----|
| WO 2003082853 | | | | | | | | | | | | | | | | | | |
| | | | | | | | AU, | | | | | | | | | | | |
| | | | | | | | DK, | | | | | | | | | | | |
| | | | | | | | IN, | | | | | | | | | | | |
| | | | | | | | MD, | | | | | | | | | | | |
| | | PH, | PL, | PT, | RO, | RU, | SC. | SD, | SE. | S | 3, 1 | SK, | SL, | TJ, | TM, | TN, | TR. | TT. |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | - Z2 | A, : | ZM, | ZW | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | S | Ζ, ' | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | B | 3, (| CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | M | C, 1 | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | BF, | ΒJ, | CF, | CG, | CI, | CM, | GA, | GN, | G | 2, 0 | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| CA | 2476 | 343 | | | A1 | | 2003 | 1009 | | CA | 20 | 03-2 | 2476 | 343 | | 2 | 0030 | 328 |
| AU | 2003 | 2160 | 26 | | A1 20031009
A1 20031013 | | | | AU | 20 | 03-2 | 2160 | 26 | 20030328 | | | | |
| AU | 2003 | 2160 | 26 | | B2 | | 2008 | 1211 | | | | | | | | | | |
| EP | 1492 | 785 | | | A1 | | 2005
2008 | 0105 | | EΡ | 20 | 03- | 7454 | 98 | | 2 | 0030 | 328 |
| EP | 1492 | | | | | | | | | | | | | | | | | |
| | R: | | | | | | ES, | | | | | | | | | | | PT, |
| | | | | | | | RO, | | | | | | | | | | | |
| BR | 2003
1642 | 0081 | 96 | | A | | 2005 | 0111 | | BR | 20 | 03-8 | 8196 | | | 2 | 0030 | 328 |
| CN | 1642 | 938 | | | A | | 2005 | 0720 | | CN | 20 | 03-8 | 8073 | 89 | | 2 | 0030 | 328 |
| JP | 2005
3989
1923 | 5268 | 14 | | T | | 2005 | 0908 | | JP | 20 | 03- | 5803 | 19 | | 2 | 0030 | 328 |
| JP | 3989 | 444 | | | B2 | | 2007 | 1010 | | | | | | | | | | |
| CN | 1923 | 812 | | | A | | 2007 | 0307 | | CN | 20 | 06-3 | 1015 | 3714 | | 2 | 0030 | 328 |
| | 5346 | | | | A | | 2007 | 0629 | | NZ | 20 | 03- | 5346 | 64 | | 2 | 0030 | 328 |
| EP | 1961 | | | | A2 | | 2008 | 0827 | | EΡ | 20 | 08-3 | 1574 | 61 | | 2 | :0030 | 328 |
| | R: | | | | | | CZ, | | | | | | | | | | | IE, |
| | | | | | | | PT, | | | | | | | | | | | |
| RU | 2338
4161
2004 | 742 | | | C2
T | | 2008 | 1120 | | RU | 20 | 04-: | 1251 | 46 | | 2 | 0030 | 328 |
| AT | 4161 | 71 | | | T | | 2008 | 1215 | | AT | 20 | 03- | 7454 | 98 | | 2 | 0030 | 328 |
| MX | 2004 | 0091 | 63 | | A | | 2004 | 1207 | | MX | 20 | 04-9 | 9163 | | | 2 | 0040 | 921 |
| ZA | 2004
2005
7399 | 0076 | 65 | | A | | 2005 | 0829 | | ZA | 20 | 04- | 7665 | | | 2 | 0040 | 922 |
| US | 2005 | 0153 | 987 | | A1 | | 2005 | 0714 | | US | 20 | 04-5 | 5092 | 58 | | 2 | 0040 | 927 |
| US | 7399 | 780 | | | B2 | | 2008 | 0715 | | | | | | | | | | |
| NO | 2004
2007
Y APP | 0044. | 32 | | A | | 2004 | 1019 | | NO | 20 | 04- | 4432 | | | 2 | 0041 | 019 |
| JP | 2007 | 2240. | 51 | | A | | 2007 | 0906 | | JP | 20 | 07-: | 1558 | 10 | | _ 2 | 0070 | 613 |
| RIORIT | Y APP | LN. | TNEO | . : | | | | | | SE | 20 | 02-9 | 979 | | | A 2 | 0020 | 328 |
| | | | | | | | | | | CN | 20 | 03-8 | 8073 | 89 | | A3 2 | 0030 | 328 |
| | | | | | | | | | | EP | 20 | 03- | /454 | 98 | | A3 2 | 0030 | 328 |
| | | | | | | | | | | JP | 20 | 03-5 | 0803 | TA | | A3 2 | 0030 | 328 |
| THER S | orinon | (0) | | | MAR | ח ת כ | 120 | 2224 | 2 7 | WO | 20 | 03-1 | 5E50 | В | | W 2 | 0030 | 328 |
| THER S | JURCE | (0): | | | PIAK | PAI | 123: | 3234. | эΤ | | | | | | | | | |

AB Title compds. I and II [wherein P = 5- or 6-membered heteroarom, ring; R1 = H; R2 and R3 = independently halo, NO2, alkenyl, alkynyl, alkylcycloalkyl, alkyl(hetero)aryl, CHO, COR4, CO2R4, CH2F, CHF2, CF3, OCH2F, OCHF2, OCF3, OCO2R4, NR4OR5, NR4CO2R5, SO3R4, XR6; R4 = H, alkvl, alkenvl, alkvnvl, alkylcycloalkyl, alkyl(hetero)aryl, alkyl-NR14R15, or (un)substituted heterocyclyl; R5 = H or (un)substituted alkyl, alkenyl, alkynyl, alkylcycloalkyl, alkyl(hetero)aryl, or alkyl-NR14R15; or NR4R5 = (un) substituted heterocyclyl; R6 = (un) substituted Ph or heterocyclyl; R7, R9, and R12 = independently H or alkyl; R8 ,R10, R11, and R13 = independently alkyl; R14 and R15 = independently H or alkyl(cycloalkyl); or NR14R15 = (un) substituted heterocyclyl; X = direct bond, O, COR7R8, SO2NR9R10, or NR12R13; OCOR4 (un)substituted alkyl or alkoxy; m = 0-4; n = 0-4; and their pharmaceutically acceptable salts thereof| were prepared as glycogen synthase kinase-3 (GSK3) inhibitors. For example, reduction of 5-cyanooxindole with NaH in DMF, followed by coupling with 2-chloro-N-[2-(dimethylamino)ethyl]isonicotinamide in DMF provided the title indolol III (5%). In ATP competition assays, compds. of the invention inhibited recombinant human GSK3β with Ki values in the range of about 0.001 nM to about 10,000 nM (no specific values given). Thus, I, II, and their pharmaceutical formulations are useful for the treatment of a variety of neurodegenerative and dementia related diseases, including Alzheimer's disease (no data). 612487-72-6P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-IT 1H-indole-5-carbonitrile 612487-75-9P. 2-Hydroxy-3-[5-[(4-methylpiperazin-1-v1)methyl]pyridin-2-v1]-1H-indole-5carbonitrile 612487-77-1P, 6-(5-Cvano-2-hydroxy-1H-indol-3-yl)-N-(2-(dimethylamino)ethyll-Nmethylnicotinamide 612487-80-6P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-3sulfonamide 612487-82-8P, 2-Hydroxy-3-[5-[(pyrrolidin-1-yl)methyl]pyridin-2-vl]-1H-indole-5carbonitrile 612487-85-1P. 2-Hydroxy-3-[5-[(4-methyl-1,4-diazepan-1-yl)methyl]pyridin-2-yl]-1H-indole-5-carbonitrile 612487-87-3P. 2-Hydroxy-3-[5-[[4-(pyrrolidin-1-yl)piperidin-1-yl]methyl]pyridin-2-yl]-1Hindole-5-carbonitrile 612488-07-0P, 2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-6carbonitrile 612488-09-2P. 5-Bromo-3-[5-[(morpholin-4-v1)methyl]pyridin-2-v1]-1H-indo1-2-o1 612488-11-6P, 5,6-Dibromo-3-[5-[(morpholin-4-y1)methy1]pyridin-2v11-1H-indol-2-ol 612488-22-9P,

3-[3-Bromo-5-[(4-methylpiperazin-1-y1)sulfony1]pyridin-2-y1]-5-nitro-1H-indol-2-ol 612468-21-0P,

6-(2-Hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(pyrrolidin-1-

yl)ethyl]nicotinamide 612488-33-2P, 3-[5-[(4-Methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-5-nitro-1H-indol-2-ol

612488-35-4P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(pyrrolidin-

1-y1)ethyl]nicotinamide 612488-38-7P,

6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(pyrrolidin-1-

y1)ethy1]pyridine-3-sulfonamide 612488-41-2P,

6-(5-Cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(dimethylamino)ethyl]-N-

ethylpyridine-3-sulfonamide 612488-52-5P,

3-(5-((Morpholin-4-v1)methyl)pyridin-2-v1)-5-nitro-1H-indol-2-ol

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)

(GSK3 inhibitor; preparation of (heterocyclyl) oxindoles and indolols as GSK3 inhibitors for treatment of neurodegenerative diseases, dementia, and

related disorders) RN 612487-72-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

RN 612487-75-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

RN 612487-77-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-(5-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(dimethylamino)ethyl]-N-methyl- (CA INDEX NAME)

- RN 612487-80-6 CAPLUS
- CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

- RN 612487-82-8 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2pyridinyl]- (CA INDEX NAME)

- RN 612487-85-1 CAPLUS
- CN 1H-Indole-5-carbonitrile, 3-[5-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

- RN 612487-87-3 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(1-pyrrolidinyl)-1piperidinyl]methyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612488-07-0 CAPLUS
- CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]- (CA INDEX NAME)

RN 612488-09-2 CAPLUS

CN 1H-Indol-2-ol, 5-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-11-6 CAPLUS

CN 1H-Indol-2-ol, 5,6-dibromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-22-9 CAPLUS

CN 1H-Indol-2-ol, 3-[3-bromo-5-[(4-methyl-1-piperazinyl)sulfonyl]-2pyridinyl]-5-nitro- (CA INDEX NAME)

RN 612488-31-0 CAPLUS

N 3-Pyridinecarboxamide, 6-(2-hydroxy-5-nitro-1H-indol-3-y1)-N-[2-(1-pyrrolidiny1)ethy1]- (CA INDEX NAME)

- RN 612488-33-2 CAPLUS
- CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

- RN 612488-35-4 CAPLUS
- CN 3-Pyridinecarboxamide, 6-(5-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(1pyrrolidiny1)ethy1]- (CA INDEX NAME)

- RN 612488-38-7 CAPLUS
- CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

- RN 612488-41-2 CAPLUS
- CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(dimethylamino)ethyl]-N-ethyl- (CA INDEX NAME)

RN 612488-52-5 CAPLUS

TT

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro- (CA INDEX NAME)

613487-68-0P, 2-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-

carbonitrile 612487-90-8P.

carbonitrile 612487-91-9P.

carbonitrile 612487-92-0P.

5-carbonitrile 612487-94-2P,

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(dimethylamino)ethyl]isonicotinamide 612487-69-1P,
2-Hydroxy-3-[4-[(4-methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-1H-indole-5-
carbonitrile hydrochloride 612487-70-4P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)carbonyl]pyridin-2-yl]-1H-indole-5-
carbonitrile 612487-71-5P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-5-
carbonitrile hydrochloride 612487-73-7P,
2-Hydroxy-3-[6-[2-(morpholin-4-yl)ethoxy]pyrimidin-4-yl]-1H-indole-5-
carbonitrile 612487-74-3P.
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-
carbonitrile hydrochloride 612487-76-0P.
6-(5-Cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(dimethylamino)ethyl]-N-
methylnicotinamide hydrochloride 612487-78-3P.
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-5-
carbonitrile hydrochloride 612487-79-3P.
6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(pyrrolidin-1-yl)ethyl]pyridine-3-
sulfonamide hydrochloride 612487-81-7P,
2-Hvdroxv-3-[5-[(pvrrolidin-1-v1)methv1]pvridin-2-v1]-1H-indole-5-
carbonitrile hydrochloride 612487-83-9P,
2-Hydroxy-3-[5-[(4-methyl-1,4-diazepan-1-v1)methyl]pyridin-2-v1]-1H-indole-
5-carbonitrile hydrochloride 612487-86-2P,
2-Hydroxy-3-[5-[[4-(pyrrolidin-1-yl)piperidin-1-yl]methyl]pyridin-2-yl]-1H-
indole-5-carbonitrile hydrochloride 612487-88-49,
3-[5-[[3-(Dimethylamino)pyrrolidin-1-yl]methyl]pyridin-2-yl]-2-hydroxy-1H-
indole-5-carbonitrile 612487-89-5P,
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2-Hydroxy-3-[5-[(4-methylpiperidin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-

2-Hydroxy-3-[5-[(4-phenylpiperazin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-

3-[5-[[(2-Cyanoethyl)(ethyl)amino]methyl]pyridin-2-yl]-2-hydroxy-1H-indole-

3-[5-[(Azetidin-1-yl)methyl]pyridin-2-yl]-2-hydroxy-1H-indole-5-

2-Hydroxy-3-[5-[[4-[2-nitro-4-(trifluoromethyl)phenyl]piperazin-1-vl]methylpyridin-2-vl]-1H-indole-5-carbonitrile 61248/-93-1P.

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3-[5-[[(4-Chlorobenzyl)(methyl)amino]methyl]pyridin-2-yl]-2-hydroxy-1H-
indole-5-carbonitrile 612487-95-3P,
3-[5-[[[(2-Furvl)methvl](methvl)amino]methvl]pvridin-2-vl]-2-hvdroxv-1H-
indole-5-carbonitrile 612487-96-4P,
2-Hydroxy-3-[5-[[methyl(phenyl)amino]methyl]pyridin-2-yl]-1H-indole-5-
carbonitrile 612487-97-5P,
2-Hydroxy-3-[5-[(3-methylpiperidin-1-y1)methyl]pyridin-2-y1]I-1H-indole-5-
carbonitrile 612487-98-6P.
3-[5-[[Cyclohexyl(methyl)amino]methyl]pyridin-2-yl]-2-hydroxy-1H-indole-5-
carbonitrile 612487-99-7P.
2-Hydroxy-3-[5-[(piperidin-1-yl)methyl]pyridin-2-yl]-1H-indole-5-
carbonitrile 612488-00-3P.
3-[5-[(4-Methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indol-2-ol
hydrochloride 612488-01-4P.
6-Chloro-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indol-2-
ol hydrochloride 612488-03-6P.
3-[5-[(Morpholin-4-yl)carbonyl]pyridin-2-yl]-5-nitro-1H-indol-2-ol
612488-05-3P, 6-Bromo-3-[5-[(morpholin-4-y1)methy1]pyridin-2-y1]-
1H-indol-2-ol hydrochloride 612488-06-9P,
2-Hydroxy-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 612488-08-1P,
5-Bromo-3-[5-[(morpholin-4-yl)methyl]pyridin-2-yl]-1H-indol-2-ol
hydrochloride 612488-10-5P.
5,6-Dibromo-3-[5-[(morpholin-4-v1)methyl]pyridin-2-v1]-1H-indol-2-ol
hydrochloride 612488-14-9P.
3-[5-[(4-Benzylpiperazin-1-v1)sulfonv1]pyridin-2-v1]-2-hydroxy-1H-indole-5-
carbonitrile hydrochloride 612488-15-0P.
2-Hydroxy-3-[5-[[4-(3-methylbutyl)piperazin-1-v1]sulfonyl]pyridin-2-v1]-1H-
indole-5-carbonitrile hydrochloride 612488-16-1P,
2-Hvdroxv-3-[5-[(4-isopropylpiperazin-1-v1)sulfonv1]pvridin-2-v1]-1H-
indole-5-carbonitrile hydrochloride 612488-17-2F,
3-[5-[(4-Ethylpiperazin-1-y1)sulfony1]pyridin-2-y1]-2-hydroxy-1H-indole-5-
carbonitrile hydrochloride 612488-18-3P,
3-[5-[(Morpholin-4-yl)methyl]pyridin-2-yl]-5-(pyridin-3-yl)-1H-indol-2-ol
612488-19-4F, 3-[5-[(Morpholin-4-yl)methyl]pyridin-2-yl]-5-(thien-
2-y1)-1H-indol-2-ol hydrochloride 612488-20-7P,
5-(2-Furv1)-3-[5-[(morpholin-4-v1)methv1]pvridin-2-v1]-1H-indo1-2-o1
hydrochloride 612488-21-8P,
3-[3-Bromo-5-[(4-methylpiperazin-1-v1)sulfonv1]pvridin-2-v1]-5-nitro-1H-
indol-2-ol hydrochloride 612488-23-0P,
3-[5-[(Morpholin-4-y1)methy1]pyridin-2-y1]-5-(trifluoromethy1)-1H-indol-2-
ol hydrochloride 612488-24-1P,
2-Hydroxy-3-[5-[(4-methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-1H-indole-6-
carbonitrile hydrochloride 612488-25-2P,
N-[(1-Ethylpyrrolidin-2-yl)methyl]-6-(2-hydroxy-5-nitro-1H-indol-3-
v1)nicotinamide hydrochloride 612483-26-3P,
6-(2-Hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(morpholin-4-
v1)ethv1]nicotinamide hvdrochloride 612488-27-4P,
6-(2-Hydroxy-5-nitro-1H-indol-3-yl)-N-methyl-N-(1-methylpiperidin-4-
vl)nicotinamide hydrochloride 612488-28-5F.
5-Nitro-3-[5-[[4-(pyrrolidin-1-yl)piperidin-1-yl]carbonyl]pyridin-2-yl]-1H-
indol-2-ol hydrochloride 612488-29-6P,
3-[5-[[3-(Dimethylamino)pyrrolidin-1-yl]carbonyl]pyridin-2-yl]-5-nitro-1H-
indol-2-ol hydrochloride 612488-30-9P,
N-[2-(Dimethylamino)-1-methylethyl]-6-(2-hydroxy-5-nitro-1H-indol-3-
yl)nicotinamide hydrochloride 612486-32-1P,
6-(2-Hydroxy-5-nitro-1H-indol-3-yl)-N-[2-(pyrrolidin-1-
yl)ethyl]nicotinamide fumarate 612488-34-3F,
3-[5-[(4-Methylpiperazin-1-v1)carbonv1]pyridin-2-v1]-5-nitro-1H-indol-2-ol
fumarate 612488-36-5P, 6-(5-Cyano-2-hydroxy-1H-indol-3-y1)-N-[2-
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(pyrrolidin-1-v1)ethyllnicotinamide fumarate 612488-37-6P. 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-methyl-N-[2-(pyrrolidin-1v1)ethv1]pvridine-3-sulfonamide hvdrochloride 612488-40-1P. 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]pyridine-3sulfonamide fumarate 612488-42-3P, 6-(5-Cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(dimethylamino)ethyl]-Nethylpyridine-3-sulfonamide fumarate 612488-43-4P. 6-(5-Cyano-2-hydroxy-1H-indo1-3-y1)-N-[(1-ethylpyrrolidin-2v1)methv11pvridine-3-sulfonamide 612488-44-5P. 2-Hvdroxv-3-[5-[(4-methyl-1,4-diazepan-1-v1)sulfonv1]pyridin-2-v1]-1Hindole-5-carbonitrile 612488-45-6P, 2-Hvdroxy-3-[5-[(morpholin-4-vl)sulfonvl]pvridin-2-vl]-1H-indole-5carbonitrile 612488-46-7P, 3-[5-[(4-Methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-5-(2-methylthiazol-4yl)-1H-indol-2-ol hydrochloride 612488-48-9P, 3-[5-[(4-Methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-5-(thiazol-4-yl)-1Hindol-2-ol fumarate 612488-49-0P, 3-[5-[(4-Methylpiperazin-1-yl)sulfonyl]pyridin-2-yl]-5-(oxazol-5-yl)-1Hindol-2-ol 612486-50-3P, 3-[5-[(Morpholin-4-yl)methyl]pyridin-2-yl]-5-nitro-1H-indol-2-ol hydrochloride 612488-55-8P, 6-(5-Cyano-2-hydroxy-1H-indol-3-yl)-N-((1-ethylpyrrolidin-2vl)methvl]pvridine-3-sulfonamide fumarate 612438-57-0F. 2-Hydroxy-3-[5-[(4-methyl-1,4-diazepan-1-yl)sulfonyl]pyridin-2-yl]-1Hindole-5-carbonitrile fumarate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (GSK3 inhibitor; preparation of (heterocyclyl)oxindoles and indolols as GSK3 inhibitors for treatment of neurodegenerative diseases, dementia, and related disorders) 612487-68-0 CAPLUS 4-Pyridinecarboxamide, 2-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)

RN 612487-69-1 CAPLUS CN 1H-Indole-5-carboni

RN

1H-Indole-5-carbonitrile, 2-hydroxy-3-[4-[(4-methyl-1piperazinyl)carbonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

- RN 612487-70-4 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1piperazinyl)carbonyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-71-5 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

- RN 612487-73-7 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[6-[2-(4-morpholiny1)ethoxy]-4pyrimidiny1]- (CA INDEX NAME)

- RN 612487-74-8 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)methyl]2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-76-0 CAPLUS

CN 3-Pyridinecarboxamide, 6-(5-cyano-2-hydroxy-1H-indol-3-y1)-N-[2-(dimethylamino)ethyl]-N-methyl-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-78-2 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-79-3 CAPLUS

N 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-81-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-pyrrolidinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612487-83-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-2-pyridinyl]-2-hydroxy-, hydrochloride (1:?) (CA INDEX NAME)

x HCl

RN 612487-86-2 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(1-pyrrolidiny1)-1-piperidiny1]methyl]-2-pyridiny1]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612487-88-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[[3-(dimethylamino)-1-pyrrolidinyl]methyl]2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-89-5 CAPLUS

- RN 612487-90-8 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(4-phenyl-1-piperazinyl)methyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-91-9 CAPLUS
- CN 1H-Indole-5-carbonitrile, 3-[5-(1-azetidinylmethyl)-2-pyridinyl]-2-hydroxy-(CA INDEX NAME)

- RN 612487-92-0 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-[2-nitro-4-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]-2-pyridinyl]- (CA INDEX NAME)

- RN 612487-93-1 CAPLUS
- CN 1H-Indole-5-carbonitrile, 3-[5-[[(2-cyanoethy1)ethylamino]methy1]-2pyridiny1]-2-hydroxy- (CA INDEX NAME)

RN 612487-94-2 CAPLUS

CN 1R-Indole-5-carbonitrile, 3-[5-[[[(4chlorophenyl]methyl]methylamino]methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-95-3 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[[(2-furanylmethyl)methylamino]methyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-96-4 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(methylphenylamino)methyl]-2pyridinyl]- (CA INDEX NAME)

RN 612487-97-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[(3-methyl-1-piperidinyl)methyl]2-pyridinyl]- (CA INDEX NAME)

RN 612487-98-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(cyclohexylmethylamino)methyl]-2pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612487-99-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(1-piperidinylmethyl)-2-pyridinyl]- (CA INDEX NAME)

RN 612488-00-3 CAPLUS

CN 1H-Indol-2-ol, 3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-01-4 CAPLUS

CN 1H-Indol-2-ol, 6-chloro-3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-03-6 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-y1)-3-pyridinyl]-4-morpholinyl-(CA INDEX NAME)

RN 612488-05-8 CAPLUS

CN 1H-Indol-2-ol, 6-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-06-9 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylmethyl)-2pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

- RN 612488-08-1 CAPLUS
- CN 1H-Indol-2-ol, 5-bromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

- RN 612488-10-5 CAPLUS
- CN 1H-Indol-2-ol, 5,6-dibromo-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

- RN 612488-14-9 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(phenylmethyl)-1piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

- ●x HCl
- RN 612488-15-0 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(3-methylbutyl)-1-piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

- RN 612488-16-1 CAPLUS
- CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-[[4-(1-methylethyl)-1piperazinyl]sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

x HCl

612488-17-2 CAPLUS

RN

1H-Indole-5-carbonitrile, 3-[5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-CN pyridinyl]-2-hydroxy-, hydrochloride (1:?) (CA INDEX NAME)

- x HCl
- RN 612488-18-3 CAPLUS
- 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-(3-pyridinyl)-(CA INDEX NAME)

- RN 612488-19-4 CAPLUS
- CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-(2-thienyl)-, hydrochloride (1:?) (CA INDEX NAME)

- x HCl
- RN 612488-20-7 CAPLUS
- CN 1H-Indol-2-ol, 5-(2-furanyl)-3-[5-(4-morpholinylmethyl)-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

x HCl

612488-21-8 CAPLUS

RN

CN 1H-Indol-2-ol, 3-[3-bromo-5-[(4-methyl-1-piperazinyl)sulfonyl]-2pyridinyl]-5-nitro-, hydrochloride (1:?) (CA INDEX NAME)

- ●x HCl
- RN 612488-23-0 CAPLUS
- 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-(trifluoromethyl)-CN , hydrochloride (1:?) (CA INDEX NAME)

RN 612488-24-1 CAPLUS

CN 1H-Indole-6-carbonitrile, 2-hydroxy-3-[5-[(4-methyl-1piperazinyl)sulfonyl]-2-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

x HCl

612488-25-2 CAPLUS RN

CN 3-Pyridinecarboxamide, N-[(1-ethy1-2-pyrrolidiny1)methy1]-6-(2-hydroxy-5nitro-1H-indol-3-yl)-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-26-3 CAPLUS

3-Pyridinecarboxamide, 6-(2-hydroxy-5-nitro-1H-indol-3-y1)-N-[2-(4morpholinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

HC1

RN 612488-27-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-(2-hydroxy-5-nitro-1H-indol-3-y1)-N-methyl-N-(1-methyl-4-piperidinyl)-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-28-5 CAPLUS

CN Methanone, [6-(2-hydroxy-5-nitro-1H-indol-3-y1)-3-pyridiny1][4-(1-pyrrolidiny1)-1-piperidiny1]-, hydrochloride (1:?) (CA INDEX NAME)

RN 612488-29-6 CAPLUS

CN Methanone, [3-(dimethylamino)-1-pyrrolidinyl][6-(2-hydroxy-5-nitro-1H-indol-3-yl)-3-pyridinyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-30-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-(dimethylamino)-1-methylethyl]-6-(2-hydroxy-5-nitro-1H-indol-3-yl)-, hydrochloride (1:?) (CA INDEX NAME)

× HCl

RN 612488-32-1 CAPLUS CN 3-Pyridinecarboxamide, 6-(2-hydroxy-5-nitro-1H-indol-3-y1)-N-[2-(1-pyrrolidiny1)ethy1]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-31-0 CMF C20 H21 N5 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-34-3 CAPLUS

CN Piperazine, 1-[[6-(2-hydroxy-5-nitro-1H-indol-3-y1)-3-pyridinyl]carbonyl]-4-methyl-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-33-2

CMF C19 H19 N5 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-36-5 CAPLUS

CN 3-Pyridinecarboxamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM

CRN 612488-35-4 CMF C21 H21 N5 O2

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

- RN 612488-37-6 CAPLUS
- CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-y1)-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-40-1 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indo1-3-y1)-N-[2-(dimethylamino)ethyl]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-39-8 CMF C18 H19 N5 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-42-3 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[2-(dimethylamino)ethyl]-N-ethyl-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-41-2

CMF C20 H23 N5 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-43-4 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-yl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]- (CA INDEX NAME)

RN 612488-44-5 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)sulfonyl]-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

RN 612488-45-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 2-hydroxy-3-[5-(4-morpholinylsulfonyl)-2pyridinyl]- (CA INDEX NAME)

612488-46-7 CAPLUS RN

1H-Indol-2-ol, 3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-5-(2-CN methyl-4-thiazolyl)-, hydrochloride (1:?) (CA INDEX NAME)

x HCl

612488-48-9 CAPLUS

CN Piperazine, 1-[[6-[2-hydroxy-5-(4-thiazolyl)-1H-indol-3-yl]-3pyridinyl]sulfonyl]-4-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

RN

CRN 612488-47-8 CMF C21 H21 N5 O3 S2

CM

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-49-0 CAPLUS

CN 1H-Indol-2-o1, 3-[5-[(4-methyl-1-piperazinyl)sulfonyl]-2-pyridinyl]-5-(5oxazolyl)- (CA INDEX NAME)

RN 612488-50-3 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-2-pyridinyl]-5-nitro-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 612488-55-8 CAPLUS

CN 3-Pyridinesulfonamide, 6-(5-cyano-2-hydroxy-1H-indol-3-y1)-N-[(1-ethy1-2-pyrrolidiny1)methy1]-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 612488-43-4

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 612488-57-0 CAPLUS CN 1H-1,4-Diazepine, 1-[[6-(5-cyano-2-hydroxy-1H-indol-3-y1)-3pyridinyl]sulfonyl]hexahydro-4-methyl-, (2E)-2-butenedioate (salt) (9CI) (CA INDEX NAME) CM 1

CRN 612488-44-5 CMF C20 H21 N5 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

ΙT 612487-59-9P 612487-60-2P 612487-65-7P,

3-[5-[(Morpholin-4-yl)methyl]-1-oxidopyridin-2-yl]-5-(pyridin-3-yl)-1Hindol-2-ol 612487-66-8P,

3-[5-[(Morpholin-4-y1)methy1]-1-oxidopyridin-2-y1]-5-(thien-2-y1)-1H-indol-2-o1 612487-67-9P, 5-(2-Fury1)-3-[5-[(morpholin-4-y1)methy1]-1-

oxidopyridin-2-y1]-1H-indo1-2-o1 612487-84-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (heterocyclyl)oxindoles and indolols as GSK3 inhibitors for treatment of neurodegenerative diseases, dementia, and related disorders)

RN 612487-59-9 CAPLUS

3-Pyridinecarboxylic acid, 6-(2-hydroxy-5-nitro-1H-indol-3-yl)-, ethyl ester (CA INDEX NAME)

RN 612487-60-2 CAPLUS

CN 3-Pyridinecarboxylic acid, 6-(5-cyano-2-hydroxy-1H-indol-3-y1)-, ethyl ester (CA INDEX NAME)

RN 612487-65-7 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-1-oxido-2-pyridinyl]-5-(3pyridinyl)- (CA INDEX NAME)

RN 612487-66-8 CAPLUS

CN 1H-Indol-2-ol, 3-[5-(4-morpholinylmethyl)-1-oxido-2-pyridinyl]-5-(2-thienyl)- (CA INDEX NAME)

RN 612487-67-9 CAPLUS

CN 1H-Indol-2-o1, 5-(2-furany1)-3-[5-(4-morpholinylmethy1)-1-oxido-2pyridiny1]- (CA INDEX NAME)

RN 612487-84-0 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[5-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)methyl]-1-oxido-2-pyridinyl]-2-hydroxy- (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:711467 CAPLUS Full-text

DOCUMENT NUMBER: 139:307657

TITLE: Catalytic enantioselective synthesis of oxindoles and benzofuranones that bear a quaternary stereocenter

AUTHOR(S): Hills, Ivory D.; Fu, Gregory C.

CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of

Technology, Cambridge, MA, 20139, USA
SOURCE: Angewandte Chemie, International Edition (2003),

42(33), 3921-3924

CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:307657

GΙ

AB A new method for the catalytic enantioselective rearrangement of O-acylated benzofuranones, e.g. I, and oxindoles to produce their C-acylated isomers, e.g. II, has been reported. This is an efficient carbon-carbon bond-forming reaction that generates a quaternary stereocenter utilizing an iron complex (III) of 4-pyrrolidinopyrindine as a planar-chiral catalyst. On the mechanistic side, the authors have crystallog. characterized the presumed intermediate in this process.

IT 610304-98-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(catalytic enantioselective synthesis of oxindoles and benzofuranones that bear a quaternary stereocenter)

RN 610304-98-8 CAPLUS

CN Carbonic acid, 1-methyl-3-(2-thienyl)-1H-indol-2-yl 2,2,2-trichloro-1,1-dimethylethyl ester (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:814114 CAPLUS Full-text

DOCUMENT NUMBER: 137:325434

TITLE: Preparation of triazinyl amides as angiogenesis

inhibitors
INVENTOR(S): Geuns-Meyer, Stephanie D.; Dipietro, Lucian V.; Kim,

Joseph L.; Patel, Vinod F.

PATENT ASSIGNEE(S): Amgen Inc., USA SOURCE: PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | ENT | NO. | | | KIN | D | DATE | | | APPLICATION NO. | | | | | | DATE | | |
|----------------|---------------|-----|-----|----------|-----|-----|----------|----------------|-----|-----------------|------|----------|-----|----------|-----|------|-----|--|
| | | | | | | - | | | | | | | | | | | | |
| WO | TO 2002083654 | | | | | | 20021024 | | | WO 2 | 002- | 20020411 | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | |
| | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, | |
| | | UA, | UG, | UZ, | VN, | YU, | ZA, | ZW | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AT, | BE, | CH, | |
| | | CY, | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | |
| | | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | |
| US 20030087908 | | | A1 | 20030508 | | | | US 2002-120939 | | | | | | 20020410 | | | | |
| US | JS 6864255 | | | | | | 2005 | 0308 | | | | | | | | | | |

| CA | 2443 | 366 | | | A1 | | 2002 | 1024 | CZ | . 2 | 002-2 | 2443 | 366 | | 2 | 0020 | 411 |
|----------|------------|------|------|-----|-----|-----|------|------|-------|-------|-------|----------|-----|-----|-----|------|-----|
| AU | 2002 | 3386 | 45 | | A1 | | 2002 | 1028 | ΑU | 002-3 | 3386 | 20020411 | | | 411 | | |
| EP | EP 1385833 | | | | A1 | | 2004 | 0204 | EF | 002- | 7620 | 20020411 | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, G | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FΙ, | RO, | MK, | CY, F | L, | TR | | | | | | |
| PRIORITY | APP | LN. | INFO | . : | | | | | US | 2 | 001-2 | 2829 | 77P | E | 2 | 0010 | 411 |
| | | | | | | | | | US | 2 | 002- | 1209 | 39 | 7 | A 2 | 0020 | 410 |
| | | | | | | | | | WC | 2 | 002-t | JS11 | 675 | V | ñ 2 | 0020 | 411 |

OTHER SOURCE(S): MARPAT 137:325434

- AB The triazinyl amides I [wherein R1 = (un)aubstituted Ph or heterocaryl; R2 = R, halo, R3, R8, NRR3, NRR5, NRR6, NRS5, SR5, SR5, SR5, SR5, OR5, OR5, OR3, COR3, heterocyclyl, or (un)substituted alkyl, etc.; R3 = Ph or (un)substituted heteroaryl; R5 = H, alkynyl aryl, R9, or (un)substituted (cyclo)alkenyl, etc.; R6 = COR5, COR5, COR5, COR5, C(=NR5)NRSR5, or SOR55; R8 and R9 = independently mono-, bi-, or tri-cyclic ring, etc.; n = 1 or 2; rayl = (un)substituted mono-, bi-, or tri-cyclic aromatic ring, etc.; or analogs, prodrugs, and pharmaceutically acceptable salts thereof] were prepared for prophylaxis and treatment of cancer and angiogenesis-related diseases. For example, the triazinyl benzamide II was prepared in a multiple-step synthesis including the final coupling reaction of [4-(2-chlorobenzimidazol-1-y1)-11,3,5|triazin-2-y1|-3,4,5-trimethoxyphenyl)maine with 3-amino-N-(4-phenoxyphenyl)benzamide in isopropanol in the presence of DIEA. I showed inhibition of KDR kinase at doses less than 50 MM.
- IT 333728-93-1P 333729-76-3P 333730-27-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation of triazinyl amides as angiogenesis inhibitors) RN 333728-93-1 CAPLUS
- CN 1H-Indol-2-ol, 3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]-(CA INDEX NAME)

RN 333729-76-3 CAPLUS

 ${\tt CN-1H-Indol-2-ol,\ 1-methyl-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-1}$

- RM 333730-27-1 CAPLUS
- 1H-Indol-2-ol, 5-chloro-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-CN 2-v11- (CA INDEX NAME)

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:742304 CAPLUS Full-text

DOCUMENT NUMBER: 138:204903

TITLE: Study on direct benzoannelations of pyrrole and indole systems by domino reactions with 4,5-dicyanopyridazine

AUTHOR(S): Giomi, Donatella; Cecchi, Marco

CORPORATE SOURCE: Dipartimento di Chimica Organica 'Ugo Schiff',

Universita di Firenze, Sesto Fiorentino, I-50019,

Italy

SOURCE: Tetrahedron (2002), 58(40), 8067-8071

CODEN: TETRAB; ISSN: 0040-4020

Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:204903

- 4,5-Dicyanopyridazine (I) underwent hetero Diels-Alder [4+2] cycloaddns. on the C(2)-C(3) double bond of pyrrole and indole systems; spontaneous loss of nitrogen from the primary adducts, followed by oxidation processes, afforded the corresponding fully aromatic benzoannelated skeletons in modest and reasonable yields, resp. Competitive attacks of the same systems at the strongly electrophilic C-4 carbon of I, leading to substitution products, were evidenced.
- 500008-31-1P

PUBLISHER:

RL: SPN (Synthetic preparation); PREP (Preparation)

(benzannelations of pyrrole and indole systems by domino reactions with 4.5-dicvanopyridazine)

- 500008-31-1 CAPLUS RN
- CN 4-Pyridazinecarbonitrile, 5-[2-(ethylthio)-1H-indol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT:

35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:501900 CAPLUS Full-text DOCUMENT NUMBER: 135:303820

TITLE: Efficient synthesis of

3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indoles

AUTHOR(S): Harv, U.; Roettig, U.; Paal, M. CORPORATE SOURCE: Lilly Forschung GmbH, Hamburg, 22419, Germany

Tetrahedron Letters (2001), 42(31), 5187-5189

CODEN: TELEAY; ISSN: 0040-4039

Elsevier Science Ltd. PUBLISHER: DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:303820

GI

SOURCE:

AB A simple method for the synthesis of various 3-(4,5-dihydro-1H-imidazol-2-y1)-1H-indoles, e.g. I, is described. Treatment of different substituted indoles with 1-acetylimidazolidin-2-one in the presence of phosphorus oxychloride afforded after hydrolysis in ethanol the corresponding 3-(4,5-dihydro-1Himidazol-2-yl)-1H-indoles in moderate to good yields. 227800-70-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of imidazolylindoles by coupling of indoles with acetylimidazolidinone)

227800-70-6 CAPLUS RN

CN 1H-Indole, 5-chloro-3-(4,5-dihydro-1H-imidazol-2-y1)-2-(phenylthio)- (CA INDEX NAME)

L3 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:265404 CAPLUS Full-text

16

DOCUMENT NUMBER: 134:295842

TITLE: Preparation of triazine kinase inhibitors

INVENTOR(S): Armistead, David M.; Bemis, Jean E.; Buchanan, John
L.; Dipietro, Lucian V.; Elbaum, Daniel; Habgood,
Gregory J.; Kim, Joseph L.; Marshall, Teresa L.;
Geuns-Meyer, Stephanie D.; Novak, Perry M.; Nunes,
Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia

M.; Zhu, Xiaotian

PATENT ASSIGNEE(S): Kinetix Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

GI

| PA | TENT | NO. | | | KIN | D | DATE | | | APPLICATION NO. | | | | | | | DATE | | |
|---------|---------------|------|------|-----|------------|------|----------------|------|----------------------------------|-----------------|------|----------------|-------|-----|----------|----------|----------|-----|--|
| WO | WO 2001025220 | | | | A1 | | 2001 | 0412 | WO 2000-US27811 | | | | | | | 20001006 | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BE | 3, E | ЗG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES | 5, E | FI, | GB, | GD, | GE, | GH, | GM, | HR, | |
| | | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KE | , F | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | |
| | | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | M | ۷, ۱ | 4Z, | NO, | NZ, | PL, | PT, | RO, | RU, | |
| | | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TF | ٦, ٦ | ΓT, | TZ, | UA, | UG, | US, | UZ, | VN, | |
| | | YU, | ZA, | ZW | | | | | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ | 3, 3 | ΓZ, | UG, | ZW, | AT, | BE, | CH, | CY, | |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | 17 | Γ, Ι | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MF | R, N | ΝE, | SN, | TD, | TG | | | | |
| CA | CA 2386218 | | | | | | 2001 | 0412 | | CA | 200 | 00-2 | 2386 | 218 | | 2 | 0001 | 006 | |
| EP | EP 1218360 | | | | | | A1 20020703 | | | | | EP 2000-972036 | | | | | | 006 | |
| EP | EP 1218360 | | | | | | 2008 | 0528 | | | | | | | | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GF | ₹,] | ΙT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | | | | | RO, | | | | | | | | | | | | |
| JP | 2003 | 5113 | 78 | | T | 0325 | JP 2001-528166 | | | | | | | | | | | | |
| AU | 7706 | 00 | | | B2 | | 2004 | 0226 | AU 2001-10754 | | | | | | | 20001006 | | | |
| AT | 3969 | 78 | | | T 20080615 | | | | AT 2000-972036
ES 2000-972036 | | | | | | 20001006 | | | | |
| ES | 2306 | 671 | | | Т3 | | 20081116 | | | ES | 200 | 00-9 | 9720 | 36 | | 2 | 0001 | 006 | |
| MX | 2002 | 0034 | 36 | | A | | 2002 | 0820 | | MX | 200 |)2-3 | 3436 | | | 2 | 0020 | 404 | |
| PRIORIT | Y APP | LN. | INFO | .: | | | | | | | | | | 76P | | | 19991007 | | |
| | | | | | | | | | | | | | | 78P | | | 9991 | | |
| | | | | | | | | | | | | | | 78P | | | 9991 | | |
| | | | | | | | | | | | | | | 63P | | | 0000 | | |
| | | | | | | | | | | | | | | 76P | | | 0000 | | |
| | | | | | | | | | | | | | | 01P | | | 0000 | | |
| | | | | | | | | | | WO | 200 | J-00 | JS27: | 811 | 1 | W 2 | 0001 | 006 | |
| OTHER S | OURCE | (S): | | | MAR | PAT | 134: | 2958 | 42 | | | | | | | | | | |

- Title triazine compds. (I) [wherein R1 and R2 = independently R3, R8, NHR3, AB NHR5, NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, or (un) substituted heterocyclyl or alkyl; R3 = independently aryl or (un) substituted Ph or heteroarv1; R5 = independently H, (un) substituted (cyclo)alkyl or alkenyl, alkynyl, cycloalkenyl, aryl, or haloalkyl; R6 = independently COR5, CO2R5, CONR5R5, C(NR5)NR5R5, or SOnR5; R8 = independently (un)substituted mono-, di-, or tricyclic ring system comprising 1-3, 1-6, or 1-9 heteroatoms, resp.; n = 1-21 were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. For example, amination of 2,4-dichloro-1,3,5-triazine (preparation given) with 3,4,5trimethoxyaniline in DMF, followed by a second amination with 4-aminoveratrole in the presence of diisopropylethylamine in EtOH, yielded II. In kinase inhibition studies, II gave IC50 values of < 0.4 ug/mL for KDR-1, PDGFRB-1, and Flt-1; 0.4 to 2.4 μ q/mL for Lck-1; 3.5 to 4.5 μ q/mL for EGFR-1, Tek-1, and EPGB4-1; and > 4.5 $\mu q/mL$ for IGFR-1, AKT3-1, Met-1, Zap-1, Itk-1, FGFR1-1, and Fvn-1. I and compns. comprising them are useful for the treatment of disease or disease symptoms related to kinase inhibition, such as angiogenesis or vasculogenesis (no data).
- II 333728-93-1P 333729-76-3P 333730-27-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazine kinase inhibitors for inhibiting angiogenesis or vasculogenesis)

- RN 333728-93-1 CAPLUS
- CN 1H-Indol-2-o1, 3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl] (CA INDEX NAME)

- RN 333729-76-3 CAPLUS
- CN 1H-Indol-2-ol, 1-methyl-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]- (CA INDEX NAME)

333730-27-1 CAPLUS

CN 1H-Indol-2-o1, 5-chloro-3-[4-[(3,4,5-trimethoxyphenyl)amino]-1,3,5-triazin-2-yl]- (CA INDEX NAME)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:401581 CAPLUS Full-text

DOCUMENT NUMBER: 131:58827

TITLE: Preparation of hypoglycemic imidazoline compounds
INVENTOR(S): Jirousek, Michael Robert: Paal, Michael: Ruhter,

INVENTOR(S): Jirousek, Michael Robert; Paal, Michael; Ruhter, Gerd; Schotten, Theo; Stenzel, Wolfgang; Takeuchi, Kumiko

PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: Eur. Pat. Appl., 136 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | | | | | ICAT | | | | | | | | | |
|------------|------------------|-----|-----|---------|----------------------------|-----|----------|------|---------------------------------|------|------|----------|----------|-----|----------|----------|-----|--|
| | 924209
924209 | | | | A1 19990623
B1 20030502 | | | | 1 | EP 1 | 998- | 19981218 | | | | | | |
| EP | | | | BE, CH, | | | | | GB, | GR. | IT. | LI. | LU. | NL. | SE. | MC. | PT. | |
| | | | | | LV, | | | , | | | , | , | | , | | | | |
| CA | 2315 | | | | | | 19990701 | | | CA 1 | 998- | | 19981218 | | | | | |
| WO | 9932112 | | | | A1 | | 1999 | 0701 | WO 1998-US26974 | | | | | | | 19981218 | | |
| | W: | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | DE, | |
| | | DK, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | |
| | | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | MN, | |
| | | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | |
| | | TR, | TT, | UA, | UG, | US, | UZ, | VN, | YU, | ZW | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | SD, | SZ, | UG, | ZW, | AT, | BE, | CH, | CY, | DE, | DK, | ES, | |
| | | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | BJ, | CF, | CG, | CI, | |
| | | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | | | | | |
| WO | 9932 | 482 | | | A1 | | 1999 | 0701 | WO 1998-US27080 | | | | | | | | | |
| | W: | AL, | AM, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CA, | CN, | CU, | CZ, | EE, | GD, | GE, | |
| | | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KΡ, | KR, | ΚZ, | LC, | LK, | |
| | | LR, | LS, | LT, | LV, | MD, | MG, | MK, | MN, | MW, | MX, | NO, | NZ, | PL, | RO, | RU, | SD, | |
| | | SG, | SI, | SK, | SL, | ΤJ, | TM, | TR, | TT, | UA, | UG, | US, | UZ, | VN, | YU, | zw | | |
| | RW: | | | | | | SD, | | | ZW, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GA, | |
| | | | | | | | SN, | | | | | | | | | | | |
| | 9920 | | | | | | | | AU 1999-20030 | | | | | | | 9981 | | |
| | | | | | | | | | AU 1999-22016 | | | | | | | | | |
| | 9811 | | | | | | | | | | | | | | 19981218 | | | |
| | | | | | | | | | JP 2000-525419
EP 2002-20546 | | | | | | | | | |
| EΡ | 1266897 | | | | A2 | | 2002 | 1218 | 1 | EP 2 | 002- | 2054 | 6 | | 19981218 | | | |

EP 1266897 A3 20031203 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO, CY, AL AT 239013 Τ 20030515 AT 1998-310461 19981218 PT 924209 Т 20030829 PT 1998-310461 19981218 ES 2198033 Т3 20040116 ES 1998-310461 19981218 US 6410562 B1 20020625 US 2000-581498 20001208 PRIORITY APPLN. INFO.: US 1997-68195P P 19971219 EP 1998-310461 A3 19981218 WO 1998-US26974 W 19981218 WO 1998-US27080 W 19981218

OTHER SOURCE(S): MARPAT 131:58827

R1' × R2 R3

R1 X (CH2) nR4 I

- AB The title compds. I [X = 0, S, NR5 with R5 = H, alkyl, protecting group; R1, R1, R2, R3 = H, alkyl; R1 an R2 form a bond an R1' and R3 are H, alkyl; R1 and R2 form a carbocyclic ring; R4 = heterocyclyl; n = 0-2], hypoglycemic agents, were prepared E.g., 5-chloro-2-methyl-3-(4,5-dihydro-1H-imidazol-2-yl)-1H-indole was prepared
 - T 227800-70-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of hypoglycemic imidazoline compds.)

- RN 227800-70-6 CAPLUS
- CN 1H-Indole, 5-chloro-3-(4,5-dihydro-1H-imidazol-2-yl)-2-(phenylthio)- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:707534 CAPLUS Full-text DOCUMENT NUMBER: 127:346363

ORIGINAL REFERENCE NO.: 127:67962h,67963a

TITLE: Facile synthesis of benzotriazines and indoles by ring

scissions of a-benzotriazol-1-vl hydrazones

AUTHOR(S): Katritzky, Alan R.; Wang, Jin; Karodia, Nazira; Li,

Jianqing

CORPORATE SOURCE: Center for Heterocyclic Compounds, Department of

Chemistry, University of Florida, Gainesville, FL,

32611-7200, USA

SOURCE: Synthetic Communications (1997), 27(22), 3963-3976

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Dekker DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:346363

GI

AB α -Benzotriazol-1-yl hydrazones were prepared by refluxing the corresponding α benzotriazol-1-yl ketones with p-tosyl hydrazide or benzenesulfonyl hydrazide. Treatment of the hydrazones with n-butyllithium in the presence of TMEDA gave benzotriazines (I; R = H, Me) or indoles (II; R1 = p-tolyl, 2-furyl).

198216-44-3P

RN

RL: SPN (Synthetic preparation); PREP (Preparation) (benzotriazines and indoles by ring scissions of

α-benzotriazol-1-vl hydrazones) 198216-44-3 CAPLUS

1H-Indole, 3-(2-furanvl)-2-phenoxy- (CA INDEX NAME) CN

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:213284 CAPLUS Full-text

DOCUMENT NUMBER: 122:81059

ORIGINAL REFERENCE NO.: 122:15399a,15402a

TITLE: 2-Ethoxycarbonyloxy-3-ethynylindoles from

indol-2(3H)-ones AUTHOR(S):

Beccalli, Egle M.; Marchesini, Alessandro; Pilati, Tullio

CORPORATE SOURCE: Ist. Chim. Org., Univ. Studi Milano, Milano, 20133,

Italv SOURCE: Tetrahedron (1994), 50(44), 12697-712

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English



AB The treatment of the 3-[(1-chloro-2-substituted)ethylidene]indol-2(3H)- ones [I; Z = CC1CH2R; R = Ph, Me, H, CO2Et, methylthio, 2-thienyl, CH2-CO2Et, methoxy, NH-CO2Me], prepared from indol-2(3H)-one[I; Z = H2], with Et chloroformate and triethylamine gives the Et 3-(ethynyl)-2-(ethoxycarbonyloxy)indole-1-carboxylates II. Some dimeric derivs. of the intermediate allenes have been isolated.

IT 160291-91-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(Ethoxycarbonyloxyethynylindoles from indolones)

RN 160291-91-8 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[(ethoxycarbonyl)oxy]-3-(2-methyl-5-oxazolyl)-, ethyl ester (CA INDEX NAME)

L3 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1994:182350 CAPLUS Full-text

ACCESSION NUMBER: 1994:182350 CAPLUS <u>Fu</u> DOCUMENT NUMBER: 120:182350

ORIGINAL REFERENCE NO.: 120:31885a,31888a

TITLE: Interactive multivariate analysis of

bisindolylmaleimides as potent protein kinase C

antagonists

AUTHOR(S): Mager, Peter P.

CORPORATE SOURCE: Inst. Pharmacol. Toxicol., Univ. Leipzig, Leipzig,

7010, Germany

SOURCE: Drug Design and Discovery (1993), 10(3), 231-48 CODEN: DDDIEV; ISSN: 1055-9612

DOCUMENT TYPE: Journal

LANGUAGE: English

BE The isoenzyme protein kinase C (PKC) inhibitory activity of substituted bisindolylmaleimides depends on the mol. weight, the total charge, and dipole moments. The validity of the resulting QSAR equation was investigated by

interactive diagnostic statistics and multivariate simultaneous statistical inference. Mol. mechanics and dynamics can be used to study possible reasons of flagged observations (high-leverage points, influential data, outliers) of QSAR systems.

125313-56-6 125334-43-2

RL: BIOL (Biological study)

(protein kinase C inhibitory activity of, QSAR study of)

125313-56-6 CAPLUS RN

CN 1H-Pvrrole-2,5-dione, 3-(1-methyl-1H-indol-3-v1)-4-[1-methyl-2-(methylthio)-1H-indol-3-v11- (CA INDEX NAME)

125334-43-2 CAPLUS

1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-CN (methylsulfinyl)-1H-indol-3-yl]- (CA INDEX NAME)

T. 3 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1992:41230 CAPLUS Full-text

DOCUMENT NUMBER: 116:41230

ORIGINAL REFERENCE NO.: 116:7065a,7068a

TITLE: Inhibitors of protein kinase C. 1.

2,3-bisarvlmaleimides

AUTHOR(S): Davis, Peter D.; Hill, Christopher H.; Lawton,

Geoffrey; Nixon, John S.; Wilkinson, Sandra E.; Hurst,

Steven A.; Keech, Elizabeth; Turner, Susan E. CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City/Herts., AL7 3AY,

Journal of Medicinal Chemistry (1992), 35(1), 177-84 SOURCE: CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English CASREACT 116:41230

OTHER SOURCE(S):

GI

- AB A series of novel inhibitors, i.e., maleimides I (R = H, Me; R1 = (un)substituted indolyl, (un)substituted Ph, naphthyl, benzo[b]hien-3-yl, 3-pyrolyl) of protein kinase C (PKC) is described. These maleimides were derived from the structural lead provided by the indolocarbazoles, staurosporine and K252a. Optimum activity required the imide NH, both carbonyl groups, and the olefinic bond of the maleimide ring. Bisindolylmaleimides were the most active and the potency of these was improved by a chloro substituent at the 5-position of one indole ring (IC50 0.11 µM). In a series of (phenylindolyl)maleimides, nitro derivative I (R = Me, R1 = 2-02NC6H5) was most active (IC50 0.67 µM). Naphthalene compound I (R = Me, R2 = benzo[b]thien-3-yl) showed greater than 100-fold selectivity for inhibition of PKC over the closely related cAMP-descendent rotes in the second
- benzo(b)thien-3-yl) showed greater than 100-fold selectivity for inhibition PKC over the closely related cAMP-dependent protein kinase.

 II 125313-56-69 125334-43-29
 RL: SPN (Synthetic preparation); PREP (Preparation)
- (preparation and protein kinase C inhibiting activity of)
 RN 125313-56-6 CAPLUS
 CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylthio)-1H-indol-3-yl]- (CA INDEX NAME)

- RN 125334-43-2 CAPLUS
- CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylsulfinyl)-1H-indol-3-yl]- (CA INDEX NAME)

L3 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1990:98378 CAPLUS Full-text

DOCUMENT NUMBER:

112:98378 ORIGINAL REFERENCE NO.: 112:16731a,16734a

TITLE:

Preparation of 3-(3-indoly1)pyrrole-2,5-diones and

analogs as protein kinase inhibitors

INVENTOR(S):

Davis, Peter David; Hill, Christopher Huw; Lawton, Geoffrev

PATENT ASSIGNEE(S):

Hoffmann-La Roche, F., und Co. A.-G., Switz. Eur. Pat. Appl., 38 pp.

SOURCE: CODEN: EPXXDW

DOCUMENT TYPE: Pat.ent. LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PATENT NO. | | | | | | | | | DATE | | |
|----------|-----------------------------|-----|-----|-----|----|-----------|-----|-------------|----------------------------|------|----|----------|
| EP | 328026 | | | A1 | | 19890816 | | EP | 1989-102025 | | | 19890206 |
| EP | 328026 | | | В1 | | 19930428 | | | | | | |
| | R: AT, | BE, | CH, | DE, | ES | , FR, GB, | GR, | 17 | r, LI, LU, NL, | SE | | |
| ZA | 8900865 | | | A | | 19891025 | | ZA | 1989-865 | | | 19890203 |
| CZ | 280738 | | | B6 | | 19960417 | | $^{\rm CZ}$ | 1989-752 | | | 19890203 |
| SK | 278989 | | | В6 | | 19980506 | | SK | 1989-752 | | | 19890203 |
| AU | 8929658 | | | A | | 19890810 | | AU | 1989-29658 | | | 19890206 |
| AU | 623630 | | | B2 | | 19920521 | | | | | | |
| HU | 49348 | | | A2 | | | | HU | 1989-554 | | | 19890206 |
| HU | 201054
5057614 | | | В | | 19900928 | | | | | | |
| US | 5057614 | | | A | | 19911015 | | US | 1989-307104 | | | 19890206 |
| AT | 88704 | | | T | | 19930515 | | AΤ | 1989-102025
1989-590178 | | | 19890206 |
| | | | | C | | 19930713 | | CA | 1989-590178 | | | 19890206 |
| | 2054890 | | | Т3 | | 19940816 | | ES | 1989-102025 | | | 19890206 |
| | 8900558 | | | | | | | DK | 1989-558 | | | 19890207 |
| | 171891 | | | | | | | | | | | |
| JP | 01233281
07030071 | | | A | | 19890919 | | JΡ | 1989-27741 | | | 19890208 |
| JP | 07030071 | | | В | | 19950405 | | | | | | |
| NO | 8900568 | | | A | | 19890811 | | NO | 1989-568 | | | 19890209 |
| NO | 172540 | | | В | | 19930426 | | | | | | |
| NO | 172540
172540
1799382 | | | C | | 19930804 | | | | | | |
| SU | 1799382 | | | A3 | | 19930228 | | | 1989-4613492 | | | |
| FI | 8900652
96861
96861 | | | A | | 19890811 | | FΙ | 1989-652 | | | 19890210 |
| FI | 96861 | | | В | | 19960531 | | | | | | |
| FI | 96861 | | | С | | 19960910 | | | | | | |
| US | 36736 | | | E | | 20000613 | | US | 1998-14198 | | | 19980127 |
| PRIORIT: | Y APPLN. 1 | NFO | . : | | | | | GB | 1988-3048 | 2 | Ą | 19880210 |
| | | | | | | | | | 1988-27565 | | | |
| | | | | | | | | | 1989-102025 | | | |
| | | | | | | | | US | 1989-307104 | 1 | 15 | 19890206 |
| CT | | | | | | | | | | | | |

AB The title compds. (I; R1, R2 = H, alkyl, aryl, etc.; R3 = aryl, heteroaryl; R4-R7 = H, halo, alkyl, alkoxy, etc.; 1 of X, Y = 0 and the other = O, S, H and OH, H and H) were prepared Thus, 1-(3-bromopropyl)indole (preparation given) was stirred 2 h with (COC1)2 in CH2C12 and the product stirred 3 h with 1-methyl-3-indolylacetic acid in CH2C12 containing (Me2CH2NEt to give bis(indolyl)furandione II (R = Br, Z = O) which was converted in 3 steps to II (R = NH2, Z = NH). The latter was stirred 16 h with 1,1'-thiocarbonyldimidazole in THF to give II (R = NCS, Z = NH) which had IC50 of

0.008 μM for inhibition of protein kinase C in vitro. IT 125314-93-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of protein kinase inhibitors) N 125314-93-4 CAPLUS

CN 2,5-Furandione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylthio)-1H-indol-3-yl]- (CA INDEX NAME)

- IT 125313-56-6P 125334-43-2P
- RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as protein kinase inhibitor)
- RN 125313-56-6 CAPLUS
- CN 1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylthio)-1H-indol-3-yl]- (CA INDEX NAME)

DΝ 125334-43-2 CAPLUS

1H-Pyrrole-2,5-dione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-CN (methylsulfinyl)-1H-indol-3-yl]- (CA INDEX NAME)

L3 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1989:135048 CAPLUS Full-text

DOCUMENT NUMBER: 110:135048

ORIGINAL REFERENCE NO.: 110:22291a,22294a

TITLE: 3-Pyridiniumindolyl-2-thiolates - new type of

functionalized indoles AUTHOR(S):

Gonda, Jozef; Kristian, Pavol CORPORATE SOURCE: Dep. Org. Chem., P. J. Safarik Univ., Kosice, 041 67,

Czech.

SOURCE: Collection of Czechoslovak Chemical Communications

(1988), 53(8), 1761-9

CODEN: CCCCAK; ISSN: 0366-547X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:135048

GI

- AB 2-Bromomethylphenyl isothiocyanate reacts with pyridines to give 2isothiocyanatobenzyl-pyridinium bromides I (R = H, 2-, 3-, 4-Me). Deprotonation of these compds. with NaOEt in EtOH or NaH in Me2SO afforded novel type of functionalized indoles, 3-pyridiniumindoly1-2-thiolates II. Reaction of I with KOH or KCN gave products of addition to the NCS group. Structure of I was proven by IR, 1H-, 13C-NMR, and mass spectra and of II (R = H) was confirmed by x-ray diffraction anal.
- 119476-19-6P 119476-20-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 119476-19-6 CAPLUS

Pyridinium, 1-[2-(methylthio)-1H-indol-3-yl]-, iodide (1:1) (CA INDEX NAME)

119476-20-9 CAPLUS

CN Pyridinium, 2-methyl-1-[2-(methylthio)-1H-indol-3-yl]-, iodide (1:1) (CA INDEX NAME)

ANSWER 32 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1971:540653 CAPLUS Full-text

DOCUMENT NUMBER: 75:140653

ORIGINAL REFERENCE NO.: 75:22193a,22196a

TITLE: Tertiary amine oxides. XLIII. Reactions of aromatic

N-oxides with alkoxyindoles in the presence of

acvlating agents

AUTHOR(S): Hamana, Masatomo; Kumadaki, Itsumaro

CORPORATE SOURCE: Fac. Pharm. Sci., Kyushu Univ., Fukuoka, Japan SOURCE: Chemical & Pharmaceutical Bulletin (1971), 19(8),

1669-80

English

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE:

GI For diagram(s), see printed CA Issue.

AB 1-Methyl-2-ethoxy-(I), 2-ethoxy-(II) and 3-methoxyindoles (III) were treated with N-oxides of pyridines in the presence of an acylating agent. The reaction of I with quinoline 1-oxide (IV) in the presence of tosyl chloride or BzCl progressed in the cold, and 1-methyl-2-ethoxy-3-(2-quinolyl)indole was obtained. The reaction under heating gave V. 2-Chloro- and 4-chloroquinoline 1-oxide as well as pyridine and 4-chloropyridine 1-oxides reacted similarly with I in the presence of tosyl chloride to give the corresponding 3substituted indoles. Similar reaction of II with IV yielded 2-ethoxy-3-(2quinolyl)indole(VI). The reaction of III with IV or Et nicotinoate 1-oxide led to the formation of 2-substituted 3-methoxyindoles such as VII; the yield

of VII was poor. The mechanism of the reductive deethoxylation of 2-ethoxy-3-(2-quinolyl or 2-pyridyl)indoles by LiAlH4 was discussed.

IT 33919-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 33919-94-7 CAPLUS

CN 1H-Indole, 2-ethoxy-1-methyl-3-(2-pyridinyl)-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

CM

CRN 46960-57-0 CMF C16 H16 N2 O

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

L3 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1967:516778 CAPLUS Full-text

DOCUMENT NUMBER:

67:116778

ORIGINAL REFERENCE NO.: 67:21995a,21998a

TITLE: Reactions of 3,4-dehydroproline with substituted

isatins

AUTHOR(S): Hudson, C. B.; Robertson, Alexander V.

CORPORATE SOURCE: Univ. Sydney, Sydney, Australia

SOURCE: Australian Journal of Chemistry (1967), 20(7), 1521-31

CODEN: AJCHAS; ISSN: 0004-9425

DOCUMENT TYPE: Journal

LANGUAGE: English

B Substituted isatins having a free NH group react with 3,4-dehydroproline, like isatin itself, to give 3-(1-pyrrolyl)/oxindoles. Analysis of the N.M.R. spectra of the 5-nitro and 5,7-dibromo analogs confirms that the isatins condense at their 3- and not their 2-carbonyl groups. N-Alkylisatins form similar products which, depending on the conditions, may react with a further mol. of the isatin to give unstable diadducts whose structures have been determined 20 references.

- IT 16176-46-8P 16176-47-9P 16176-46-0P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 16176-46-8 CAPLUS
- CN 2H-Indol-2-one, 1,3-dihydro-3-hydroxy-1-methyl-3-[[1-methyl-3-(1H-pyrrol-1-yl)-1H-indol-2-yl]oxy]- (CA INDEX NAME)

- RN 16176-47-9 CAPLUS
- CN 2H-Indol-2-one, 3-[[1,5-dimethyl-3-(1H-pyrrol-1-yl)-1H-indol-2-yl]oxy]-1,3-dihydro-3-hydroxy-1,5-dimethyl- (CA INDEX NAME)

- RN 16176-48-0 CAPLUS
- CN 2H-Indol-2-one, 1-ethyl-3-[[1-ethyl-3-(1H-pyrrol-1-yl)-1H-indol-2-yl]oxy]-1,3-dihydro-3-hydroxy- (CA INDEX NAME)

L3 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1967:47300 CAPLUS Full-text

DOCUMENT NUMBER: 66:47300

ORIGINAL REFERENCE NO.: 66:8979a,8982a

TITLE: Synthesis of a vat polymer,

poly(5,5'-biisatyl[thiophene]indophenine)

AUTHOR(S): Shopov, Ivan

CORPORATE SOURCE: Bulgarian Acad. Sci., Sofia, Bulg.

SOURCE: Journal of Polymer Science, Polymer Letters Edition

(1966), 4(12), 1023-8

CODEN: JPYBAN: ISSN: 0360-6384

DOCUMENT TYPE: Journal

LANGUAGE: English

GT For diagram(s), see printed CA Issue.

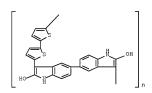
AR The title polymer (I), prepared by polycondensation of thiophene (II) and 5,5'-biisatyl (III), was reduced to its leuco form (IV) to give a polymer vat dye, which oxidized in air to give a polymer with photoelec. and semiconductive properties. Thus, 1.68 q. II in 75 ml. AcOH was added to a cooled solution of 2.92 g. III in 150 ml. H2SO4. The solution changed from dark red to dark blue-green with a slight exotherm. After stirring 1 hr., the polymer was precipitated in H2O, washed with H2O, extracted with EtOH, and dried to yield 94% I, a dark-blue powder. An aqueous solution of 1.6 g. Na2S2O6, 2 g. NaOH, 1 g. I, and 60 ml. H2O turned darkbrown under N. Filtration under N left IV, which dyed cotton and linen dark-blue. In air, IV oxidized and repptd. I. The oxidation rate was increased by acidifying the solution and using Na2S as a reducing agent. I had an intensive E.P.R. signal, showed a dark conductivity which decreased with increasing temperature and illumination, and was a p-type semiconductor. I gradually carbonized, but did not burn upon heating.

32198-46-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

32198-46-2 CAPLUS

CN Poly[(2,2'-dihydroxy[5,5'-bi-1H-indole]-3,3'-diyl)[2,2'-bithiophene]-5,5'divl1 (9CI) (CA INDEX NAME)



L3 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1958:25539 CAPLUS Full-text

DOCUMENT NUMBER: 52:25539

ORIGINAL REFERENCE NO.: 52:4639a-i,4640a TITLE . Structure of isatin blue

AUTHOR(S): Johnson, A. W.; McCaldin, D. J.

CORPORATE SOURCE:

Univ. Nottingham, UK

SOURCE: Journal of the Chemical Society (1957) 3470-7

CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

New structures were suggested for isatin blue (I) and the related compds. derived by condensation of isatin (II) with either cyclic secondary bases or cyclic α -iminocarboxylic acids. II and piperidine (III) reacted in warm alc. solution (method A) or under anhydrous conditions (method B). II (10 g.) in 250 cc. C6H6 refluxed with a Dean and Stark apparatus until all the H2O was removed, 22 g. dry III added, the heating continued 10 min., a further 0.9 cc.

```
H2O collected, and the product isolated gave 9.3 g. 3,3-dipiperidinooxindole
(IV). Above 100° IV was converted into I. Method C: Isatin β-p-nitroanil (500
mg.) and 1.5 cc. III shaken 3 hrs. at room temperature in 5 cc. alc. and 45
cc. xylene gave 350 mg. IV. Method C with 1 g. II B-anil (IVa) and 5 g.
pyrrolidine (V) in 2 cc. MeOH and 48 cc. xylene gave 985 mg. 3,3-
dipyrrolidinooxindole (VI). VI liberated V and became bright blue at 100°.
Method C with 0.5 g. IVa and 1.5 cc. morpholine gave 400 mg. 3,3-
dimorpholinooxindole (VII). VII was more stable than IV or VI. VII above 120°
decomposed with formation of the blue product. 3,3-Di(1,2,3,4-tetrahydro-1-
quinolinyl)oxindole was prepared by method A in 44% yield, prisms, m. 296-8°
(MeOH). Similarly, 19%
3,3-di(1,2,3,4-tetrahydro-2-isoquinoliny1)oxindole was obtained as prisms, m.
268-70° (decomposition). Solns, in hot MeOH were purple but became colorless
on cooling. II (0.5 g.) and 1.1 g. indoline by method A gave 0.2 g. 3,3-
diindolinooxindole as prisms, m. 204-6° (MeOH). IV at 60° with Ac2O gave 500
mg. I as blue prisms, m. 230° (MeOH) (decomposition). L-Pipecolic acid (VIIa)
(150 mg.) and 300 mg. II refluxed 0.5 hr. in 15 cc. alc. gave 80 mg. I.
Attempted condensation of II and the acid according to the method of Grassmann
and Arnim (C.A. 29, 73255) gave N-acetylisatin as the chief product. II (440
mg.) and 100 mg. V warmed 0.5 hr. with 2N AcOH gave 304 mg. compound,
C20H15O2N3 (VIII), crystallized from MeOH. II (650 mg.) and 255 mg. L-proline
in 50 cc. phosphate buffer solution refluxed 15 min. gave 181 mg. product
which showed an ultraviolet and visible spectrum identical with that of VIII.
VII (2.5 g.) in 25 cc. xylene and Ac2O refluxed 0.5 hr. gave 600 mg. product,
C20H15O3N3, blue prisms. II (0.5 g.) in 10 cc. xylene and 0.5 g. 2-
methylpiperidine refluxed 4 hrs. gave 460 mg. blue pigment, C22H19O2N3.
Similarly, 1.8 g. II and 0.6 g. 3-methylpiperidine refluxed 1.5 hrs. in xylene
gave 90 mg. blue pigment, C22H19N3O2.MeOH. Longer heating of the reactants
gave a brown tar. II (0.4 g.) and 0.2 g. cis-octahydroindole in xylene
refluxed 2 hrs. gave 170 mg. C24H2IO2N3.MeOH. N-Methylisatin (0.5 g.) and 0.5
g. V heated 1 hr. with 25 cc. 2N AcOH gave 465 mg. C22H1502N3, infrared
spectrum in Nuiol showed no medium or strong absorption below 1673 cm.-1 Other
bands were at 1634, 1599, and 1582 cm.-1 II (1 g.) and 0.5 g. isoindoline-HCl
heated 10 min. in AcOH gave 130 mg. product which gave a blue solution in
concentrated H2SO4. Acenaphthenequinone (0.3 g.) and 150 mg. VIIa refluxed
0.5 hr. in 30 cc. alc. gave 179 mg. C29H19O2N. II and III in equimolar amts.
gave isatic acid piperidide, prisms, m. 135° (alc.); acetate, needles, m. 135°
(50% aqueous MeOH). 5-Bromoisatin (IX) and III gave 5-bromoisatic acid
piperidide, yellow prisms, m. 206-8° (alc.), sublimed 140°/0.1 mm.; acetate,
m. 138-40°; 2,4-dinitrophenylhydrazone, orange-red needles, m. 371-3° (PhNO2).
IX and morpholine gave 5-bromoisatic acid morpholide, needles, m. 208-10°
(decomposition); acetate, m. 168° (H2O). IX (0.5 g.) and 0.4 g.
hexamethylenimine in 2 cc. MeOH gave 320 mg. 5-bromo-N, N-
hexamethylenisatamide, prisms, m. 165-6° (MeOH). Similarly, 4,5-benzisatin and
600 mg. V gave 300 mg. 3,4-benzisatic acid pyrrolidide, prisms, m. 179-80°
(MeOH). I (2 g.) treated with 100 cc. concentrated HNO3, after the initial
reaction warmed for a short period, evaporated to dryness in vacuo, and H2O
added followed by distillation gave 100 mg, steam-volatile material. The
product was the same constituent of the residue which was sublimed at 100°/0.1
mm. and gave 700 mg. product, C6H3O7N3, m. 118°, considered to be picric acid.
I (2 q.) oxidized in 1% KOH solution at 70° with 2.65 q. KMnO4 gave 650 mg. II
and oxalic acid, m. 97-9°. When I was oxidized with excess KMnO4, the
products were 300 mg. II and 300 mg. anthranilic acid, m. 142-4°. I (2.2 g.)
in 30 cc. AcOH treated 1 hr. at room temperature with 1.7 g. CrO3 in 57 cc.
H2O gave only 350 mg. II. I (700 mg.) heated 48 hrs. at 185°/0.1 mm. gave 8.5
mg. oxindole, m. 125-7°, together with other compds. not further isolated.
This degradation provided further evidence in support of a chromophore
containing an N-substituted piperidine in the structure postulated for I. The
infrared absorption spectra were given for the I and related compds. both in
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N,N-dimethylformamide and in 5N HCl together with spectra for substituted 3,3diaminooxindoles and substituted isatamides.

112349-77-6, Pyridinium, 2,3,4,5-tetrahydro-1-(2-hydroxyindol-3yl)-5-(2-oxo-3-indolinylidene)-, hydroxide, inner salt (as structure of isatin blue)

RN 112349-77-6 CAPLUS

Pyridinium, 5-(1,2-dihydro-2-oxo-3H-indol-3-ylidene)-2,3,4,5-tetrahydro-1-CN (2-hydroxy-1H-indol-3-yl)-, inner salt (CA INDEX NAME)



AB

ANSWER 36 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1934:44956 CAPLUS Full-text DOCUMENT NUMBER: 28:44956

ORIGINAL REFERENCE NO.: 28:5439b-f

TITLE: The existence of favored substitution positions in

biphenylene sulfide

AUTHOR(S): Courtot, Charles; Kelner, Izaak SOURCE: Compt. rend. (1934), 198, 2003-5

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

For diagram(s), see printed CA Issue. GI

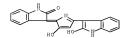
Biphenylene sulfide sulfone chloride with Zn in boiling H2O gave biphenylene sulfide-monosulfinic acid (I); monohydrate, m. 121°; Na and Ba salts, crystalline, soluble in H2O, acid oxidized in air to the hydrate of the sulfonic acid, m. 172°. I + SOC12 gave an unstable chloride which reacted with biphenylene sulfide in presence of AlCl3 in CS2 to give (C6H4.S.C6H4|2SO, m. 260°. I + Zn in H2O at 15° gave the disulfide of biphenylene sulfide, m. 175°. Excess of Zn at 90° gave the thiol of biphenylene sulfide (II), m. 81°; Ac derivative, m. 122°; Bz derivative (III), m. 116°; Et ether (by action of EtBr), m. 93°. II was also made from nitrobiphenvlene sulfide (C. A. 25, 4872) by reducing, diazotizing, treating with Et xanthate, and hydrolyzing the resulting thioxanthic ester with KOH to the K salt of II. This with BzCl gave III. Therefore the NO2 and SO3H groups enter the biphenylene sulfide mol. in the same position. Nitration of bromobiphenylene sulfide and bromination of nitrobiphenvlene sulfide gave identical mononitromonobromobiphenvlene sulfides (IV) which were also compared as acetates and benzoates of the corresponding bromoamino compds. Similarly the same nitrobiphenylene sulfide-sulfonic acid (chloride m. 257°) was obtained regardless of the order of substitution. Reduction of IV followed by the Sandmeyer reaction gave dibromobiphenylene sulfide, m. 229°, identical with that obtained by direct bromination. It is concluded that the 2 substituents occupy sym. positions, with respect to the S and biphenylene linkage, in both rings. Cf. C. A. 20, 2155. 876480-91-0P, 3-Isopyrrolinol,

5-(2-hydroxy-3-indy1)-2-(2-keto-3(2)-indylidene)-RL: PREP (Preparation)

(preparation of)

RN 876480-91-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[3-hydroxy-5-(2-hydroxy-1H-indol-3-y1)-2H-pyrrol-2-ylidene]- (CA INDEX NAME)



L3 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1934:44955 CAPLUS Full-text

DOCUMENT NUMBER: 28:44955

ORIGINAL REFERENCE NO.: 28:5438f-i,5439a-b

TITLE: Reaction of ninhydrin and isatin with proline and hydroxyproline

AUTHOR(S): Grassmann, W.; v. Arnim, K.

SOURCE: Justus Liebigs Annalen der Chemie (1934), 509, 288-303

CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB Triketohydrindene hydrate (I) (2.2 mols.) and 1 mol. proline (II) in H2O at pH 7 at 60° give 83% of the dye III or IV (R = H), m. 176° (decomposition); this results in smaller yields from 2 mols. I and 1 mol. pyrrolidine (V) in boiling AcOH. I (1 mol.) and 1 mol. II in EtOH give 82% of monopyrrolidinylnihydrin, golden yellow, decomposing above 190°, with I at pH 7 68.6% of III results. I and hydroxyproline (VI) in H2O of pH 7 at 40-50° give 76% of a violet dye, III or IV (R = OH), does not m. 275°. I and piperidine (VII) in EtOH give 59% of dipiperidylninhydrin, yellow, m. 131° (decomposition); this is converted by boiling Ac20 to the dye, C23H1504N, violet with metallic luster; this dye also results from 2 mols. I and 1 mol. VII or 1 mol. piperidine-2-carboxylic acid in AcOH; yields, about 60%. Isatin (2 mols.) and 1 mol. II in AcOH give 75% of a dye VIII or IX (R = H), blue needles; in H2O the yield is 46.8%; V gives the same dye; reduction with Zn or TiCl3 gives the leuco compound VI gives 57% of a dye (VIII or IX, R = OH), amorphous. Absorption spectra curves are given for these dyes. The structures of the intermediate compds. are

IT 857792-04-2P, Isopyrroline,

5-(2-hydroxy-3-indyl)-2-(2-keto-3(2)-indylidene)- 876480-91-0P, 3-Isopyrrolinol, 5-(2-hydroxy-3-indyl)-2-(2-keto-3(2)-indylidene)-RL: PREP (Preparation)

(preparation of)

RN 857792-04-2 CAPLUS

CN 2H-Indol-2-one, 3-[3,4-dihydro-5-(2-hydroxy-1H-indol-3-y1)-2H-pyrrol-2-ylidene]-1,3-dihydro- (CA INDEX NAME)

RN 876480-91-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[3-hydroxy-5-(2-hydroxy-1H-indol-3-y1)-2Hpyrrol-2-ylidene]- (CA INDEX NAME)

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